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FAT ACCUMULATION INHIBITOR HAVING AN AMIDE SYSTEM COMPOUND AS THE ACTIVE INGREDIENT

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[There are no amendments to this patent.]

Abstract

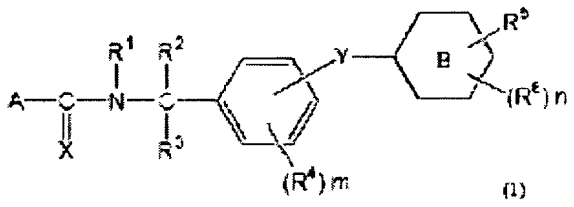
Problem

To offer a drug that has the effect of inhibiting fat accumulation in adipose tissue, and that is useful for the prevention and treatment of adipose tissue increase and of the diseases that accompany such an increase.

Means to solve

A fat accumulation inhibitor containing the compound or its prodrug expressed by General Formula 1, as well as the pharmaceutically acceptable salts thereof:

Formula 1



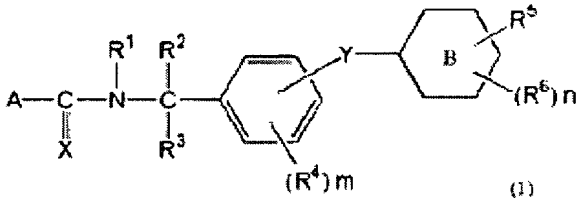
(1)

[In the formula, R^1 represents a hydrogen atom, C_{1-4} alkyl group. R^2 is a hydrogen atom, C_{1-4} alkyl group, etc. R^3 is a hydrogen atom or C_{1-4} alkyl group. R^4 is a hydrogen atom, halogen atom, or C_{1-4} alkyl group, etc. R^5 is a hydrogen atom, halogen atom, hydroxyl, etc. R^6 represents a hydrogen atom, C_{3-6} cycloalkyl group, etc. m is the integer 1 or 2. n is an integer from 1-4. A represents pyrazol-5-yl, for example. X and Z represent an oxygen atom or sulfur atom. Y represents an oxygen atom or sulfur atom, for example. Ring B represents a benzene ring or pyridine ring, for example.].

Claims

1. A fat accumulation inhibitor containing the compound or its prodrug expressed by General Formula 1, as well as the pharmaceutically acceptable salts thereof:

Structure 1

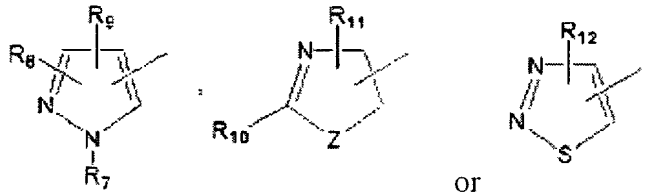


(1)

[In the formula, R^1 represents a hydrogen atom, alkyl group of 1-4 carbon atoms, or alkanoyl group with a total of 1-8 carbon atoms. R^2 is a hydrogen atom, alkyl group of 1-4 carbon atoms, or cyano group. R^3 is a hydrogen atom or alkyl group of 1-4 carbon atoms. R^4 is a hydrogen atom, halogen atom, or alkyl group of 1-4 carbon atoms, and if it is multiple, then mutually independently so. R^5 is a hydrogen atom, halogen atom, hydroxyl, amino, cycloalkyl with 3-8 carbon atoms, aryl group with 6-10 carbon atoms, alkyl group substituted by alkoxy with a total of 2-8 carbon atoms, alkyl group substituted by alkoxy with a total of 2-8 carbon atoms, alkyl group substituted by alkylthio with a total of 2-8 carbon atoms, alkyl group substituted by haloalkylthio with a total of 2-8 carbon atoms, the group expressed by formula $-NHR^{51}$ with 1-8 carbon atoms, the group expressed by formula $-NR^{52}R^{53}$ with 2-16 carbon atoms, the group expressed by formula $-NHCOR^{54}$ with 1-8 carbon atoms, the group expressed by formula $-NR^{54}R^{55}$ with 1-8 carbon atoms, the group expressed by formula $-N=CHR^{54}R^{55}$ with 1-8 carbon atoms, the group expressed by formula $-N=CHNHR^{52}$ with a total of 2-8 carbon atoms, the group expressed by formula $-N=C(CH_3)NHR^{52}$ with a total of 3-8 carbon atoms, the group expressed by formula $-N=CHNR^{52}R^{53}$ with a total of 3-16 carbon atoms, the group expressed by formula $-N=C(CH_3)NR^{52}R^{53}$ with a total of 4-16 carbon atoms, or the group expressed by formula $-NHSO_2R^{51}$ with 1-8 carbon atoms; or the group expressed by formulas $-R^{56}$, $-OR^{56}$, $-SR^{56}$, $-SOR^{51}$, $-SO_2R^{51}$, or $-OSO_2R^{51}$ (where R^{51} represents an alkyl group with 1-8 carbon atoms or a

haloalkyl group with 1-8 carbon atoms, R^{52} and R^{53} independently represent an alkyl group with 1-8 carbon atoms, R^{54} and R^{55} independently represent a hydrogen atom or an alkyl group with 1-8 carbon atoms, R^{56} represents an alkyl group with 1-8 carbon atoms, a haloalkenyl group with 1-8 carbon atoms, an alkenyl group with 2-8 carbon atoms, a haloalkenyl group with 2-8 carbon atoms, an alkynyl group with 2-8 carbon atoms, or an alkynylalkenyl group with 4-8 carbon atoms). R^6 represents, independently if multiple, a hydrogen atom, halogen atom, cycloalkyl group with 2-3 carbon atoms, or an alkoxy-substituted alkyl group with a total of 3-6 carbon atoms, or the group expressed by formulas $-R^{61}$, $-OR^{61}$, $-SR^{61}$, $-SOR^{62}$, $-SO_2R^{62}$, and $-OSO_2R^{62}$ (in the formulas, R^{61} represents an alkyl group of 1-3 carbon atoms, a haloalkyl group of 1-3 carbon atoms, an alkenyl group of 2-6 carbon atoms, a haloalkenyl group of 2-6 carbon atoms, or an alkynyl group of 2-6 carbon atoms; R^{62} represents an alkyl group with 1-3 carbon atoms or a haloalkyl group with 1-3 carbon atoms). Also, if they are adjacent to each other, R^5 and R^6 may form a 5-member ring or 6-member ring by a bond between the terminals, and these rings may be saturated or unsaturated; they may also contain 0-2 oxygen atoms or sulfur atoms within the ring, and may be substituted by a halogen atom or alkyl group of 1-4 carbon atoms. m is the integer 1 or 2. n is an integer from 1-4. A represents the group expressed by the formula:

Structure 2

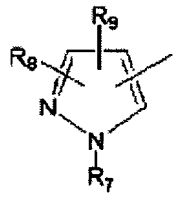


or

R^7, R^{10}, R^{11}, and R^{12} independently represent a hydrogen atom, an alkyl group of 1-4 carbon atoms, or a haloalkyl group of 1-4 carbon atoms. R^8 and R^9 independently represent a hydrogen atom or halogen atom, or the group represented by the formula $-R^{81}$ or $-OR^{81}$ (where R^{81} represents an alkyl group with 1-6 carbon atoms, a haloalkyl group with 1-6 carbon atoms, a cycloalkyl group of 3-6 carbon atoms, or an optionally substituted phenyl group). Whenever R^8 and R^9 are adjacent, they may, by a bond at the terminals, form a saturated 5-member ring or saturated 6-member ring, and these rings may be substituted by an alkyl group of 1-4 carbon atoms. X and Z independently represent an oxygen atom or sulfur atom. Y represents an oxygen atom or sulfur atom, or the group expressed by formula -SO-, SO_2-, $-CH_2$-, $-OCH_2$-, or $-NR^{13}$ (where R^{13} represents a hydrogen atom or alkyl group). Ring B represents a benzene ring, pyridine ring, or cyclohexane ring.].

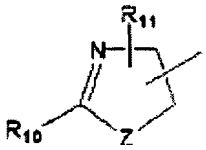
2. The fat accumulation inhibitor according to Claim 1, where A is the group expressed by formula:

Structure 3



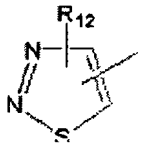
3. The fat accumulation inhibitor according to Claim 1, where A is the group expressed by formula:

Structure 4



4. The fat accumulation inhibitor according to Claim 1, where A is the group expressed by formula:

Structure 5



5. The fat accumulation inhibitor, according to any of Claims 1-4 above, which is an antiobesity agent.

6. The fat accumulation inhibitor, according to any of Claims 1-4 above, which is a therapeutic drug for diabetes.

7. The fat accumulation inhibitor, according to any of Claims 1-4 above, which is a therapeutic drug for hyperlipidemia.

Detailed explanation of the invention

[0001]

Industrial application field

The present invention pertains to a fat accumulation inhibitor

[0002]

Prior art

Fat accumulation in adipocytes of the ventral part, buttocks, mesenterium, perirenal area, and chest, for example, is known to induce, for example, obesity accompanied by body fat increase and increase in visceral fat tissue, as well as decrease in glucose tolerance [Journal of Clinical Investigation, Vol. 72, page 1150 (1983)]; diabetes [National Diabetes Data Group: Diabetes in America. Bethesda, MD, U.S. Dept. of Health and Human Services, (1985), Diabetes Care, Vol. 19, page 613 (1996), Diabetes & Metabolism, Vol. 20, page 375 (1994), Obesity: Advances in Understanding and Treatment, Published by IBC Biomedical Library. Chapter 3.1, (1996)]; hyperglyceridemia, hyperlipemia, and high blood pressure [Journal of Clinical Investigation, Vol. 72, page 1150 (1983)]; coronary artery disease [Diabetes & Metabolism, Vol. 20, page 375 (1994)], arteriosclerosis obliterans, and the like [WHO Expert Committee on Diabetes Mellitus. Second report. WHO Tech Rep 646: World Health Organization (1980)].

[0003]

Problems to be solved by the invention

Therefore, the development of a drug that is effective for the prevention or treatment of various diseases accompanied by adipose tissue increase is desirable, it inhibits fat accumulation in adipocytes and therefore inhibits the increase of adipose tissue.

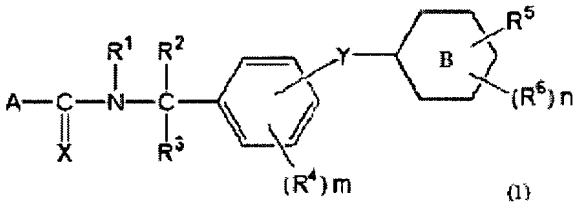
[0004]

Means to solve the problems

As the result of diligent investigation given the situation described above, the inventors discovered that the compound or its prodrug expressed by Formula 1 below, as well as the pharmaceutically acceptable salts thereof, had an excellent effect in suppressing fat accumulation in adipocytes, thus arriving at the present invention. More specifically, the present invention concerns the following invention.

[1] Formula 1

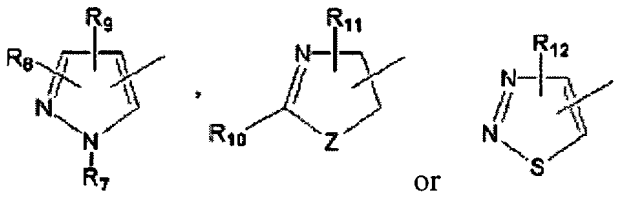
Structure 6



(I)

[In the formula, R^1 represents a hydrogen atom, alkyl group of 1-4 carbon atoms, or alkanoyl group with a total of 1-8 carbon atoms. R^2 is a hydrogen atom, alkyl group of 1-4 carbon atoms, or cyano group. R^3 is a hydrogen atom or alkyl group of 1-4 carbon atoms. R^4 is a hydrogen atom, halogen atom, or alkyl group of 1-4 carbon atoms, and if it is multiple, then mutually independently so. R^5 is a hydrogen atom, halogen atom, hydroxyl, amino, cycloalkyl with 3-8 carbon atoms, aryl group with 6-10 carbon atoms, alkyl group substituted by alkoxy with a total of 2-8 carbon atoms, alkyl group substituted by alkoxy with a total of 2-8 carbon atoms, alkyl group substituted by alkylthio with a total of 2-8 carbon atoms, alkyl group substituted by haloalkylthio with a total of 2-8 carbon atoms, the group expressed by formula $-NHR^{51}$ with 1-8 carbon atoms, the group expressed by formula $-NR^{52}R^{53}$ with 2-16 carbon atoms, the group expressed by formula $-NHCOR^{54}$ with 1-8 carbon atoms, the group expressed by formula $-NR^{54}R^{55}$ with 1-8 carbon atoms, the group expressed by formula $-N=CR^{54}R^{55}$ with 1-8 carbon atoms, the group expressed by formula $-N=CHNHR^{52}$ with a total of 2-8 carbon atoms, the group expressed by formula $-N=C(CH_3)NHR^{52}$ with a total of 3-8 carbon atoms, the group expressed by formula $-N=CHNR^{52}R^{53}$ with a total of 3-16 carbon atoms, the group expressed by formula $-N=C(CH_3)NR^{52}R^{53}$ with a total of 4-16 carbon atoms, or the group expressed by formula $-NHSO_2R^{51}$ with 1-8 carbon atoms; or the group expressed by formulas $-R^{56}$, $-OR^{56}$, $-SR^{56}$,$-SOR^{51}$, $-SO_2R^{51}$, or $-OSO_2R^{51}$ (where R^{51} represents an alkyl group with 1-8 carbon atoms or a haloalkyl group with 1-8 carbon atoms, R^{52} and R^{53} independently represent an alkyl group with 1-8 carbon atoms, R^{54} and R^{55} independently represent a hydrogen atom or an alkyl group with 1-8 carbon atoms, R^{56} represents an alkyl group with 1-8 carbon atoms, a haloalkenyl group with 1-8 carbon atoms, an alkenyl group with 2-8 carbon atoms, a haloalkenyl group with 2-8 carbon atoms, an alkynyl group with 2-8 carbon atoms, or an alkynylalkenyl group with 4-8 carbon atoms). R^6 represents, independently if multiple, a hydrogen atom, halogen atom, cycloalkyl group with 2-3 carbon atoms, or alkoxy-substituted alkyl group with a total of 3-6 carbon atoms, or the group expressed by formulas $-R^{61}$, $-OR^{61}$, $-SR^{61}$, $-SOR^{62}$, $-SO_2R^{62}$, and $-OSO_2R^{62}$ (in the formulas, R^{61} represents an alkyl group of 1-3 carbon atoms, a haloalkyl group of 1-3 carbon atoms, an alkenyl group of 2-6 carbon atoms, a haloalkenyl group of 2-6 carbon atoms, or an alkynyl group of 2-6 carbon atoms; R^{62} represents an alkyl group with 1-3 carbon atoms or a haloalkyl group with 1-3 carbon atoms). Also, if they are adjacent to each other, R^5 and R^6 may form a 5-member ring or 6-member ring by a bond between the terminals, and these rings may be saturated or unsaturated; they may also contain 0-2 oxygen atoms or sulfur atoms within the ring, and may be substituted by a halogen atom or alkyl group of 1-4 carbon atoms. m is the integer 1 or 2. n is an integer from 1-4. A represents the group expressed by the formula:

Structure 7

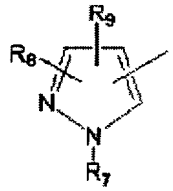


or

R^7, R^{10}, R^{11}, and R^{12} independently represent a hydrogen atom, an alkyl group of 1-4 carbon atoms, or a haloalkyl group of 1-4 carbon atoms. R^8 and R^9 independently represent a hydrogen atom or halogen atom, or the group represented by the formula $-R^{81}$ or $-OR^{81}$ (where R^{81} represents an alkyl group with 1-6 carbon atoms, a haloalkyl group with 1-6 carbon atoms, a cycloalkyl group of 3-6 carbon atoms, or an optionally substituted phenyl group). Whenever R^8 and R^9 are adjacent, they may by a bond at the terminals form a saturated 5-member ring or saturated 6-member ring, and these rings may be substituted by an alkyl group of 1-4 carbon atoms. X and Z independently represent an oxygen atom or sulfur atom. Y represents an oxygen atom or sulfur atom, or the group expressed by formula -SO-, SO_2-, $-CH_2-$, $-OCH_2-$, or $-NR^{13}$ (where R^{13} represents a hydrogen atom or alkyl group). Ring B represents a benzene ring, pyridine ring, or cyclohexane ring.].

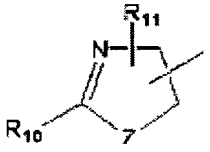
[2] The fat accumulation inhibitor according to [1], where A is the group expressed by formula:

Structure 8



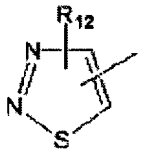
[3] The fat accumulation inhibitor according to [1], where A is the group expressed by formula:

Structure 9



[4] The fat accumulation inhibitor according to [1], where A is the group expressed by formula:

Structure 10



5. The fat accumulation inhibitor, according to any of [1]-[4] above, which is an antiobesity agent.

6. The fat accumulation inhibitor, according to any of [1]-[4] above, which is a therapeutic drug for diabetes.

7. The fat accumulation inhibitor, according to any of [1]-[4] above, which is a therapeutic drug for hyperlipidemia.

[0005]

The following statements explain the various groups for the invention. Also, unless otherwise specified, the explanation of the various groups includes in some cases parts of other substituent groups. Also, with regards to various groups, whenever the number of carbon atoms is specified in the aforementioned [1], the invented compound is limited to those having that number of carbon atoms. Those alkyl groups that may be mentioned are straight-chain or branched-chain alkyl groups with 1-8 carbon atoms, such as methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, tert-butyl, n-pentyl, neopentyl, n-hexyl, n-heptyl, and n-octyl, for example. Alkanoyl and aroyl may be mentioned as acyl groups. The alkanoyl group may be a hydrogen atom or alkyl group is bound to one of the 2 bonding sites of a carbonyl group. The aroyl group may be a group in which an aryl group is bound to one of the 2 bonding sites of a carbonyl group. The aryl group may be a group of 10 or fewer carbon atoms; phenyl, 1-naphthyl, and 2-naphthyl may be mentioned as concrete examples thereof. The alkoxyl group may be a group where an alkyl group is bound to one of the 2 bonding sites of an oxygen atom. The alkylthio group may be a group where an alkyl group is bound to one of the 2 bonding sites of a sulfur atom. The alkenyl group may be a straight-chain or branched-chain alkenyl group with 2-8 carbon atoms, such as vinyl, aryl, 1-methylvinyl, 1-propenyl, 2-butenyl, 2-methyl-2-propenyl, 2-pentenyl, 3-methyl-2-butenyl, 3,3-dimethyl-1-butenyl, 2-methyl-2-butenyl, 1-hexenyl, 2-hexenyl, 5-hexenyl, 1-heptenyl, 1-methyl-1hexenyl, and 1-octenyl, for example.

[0006]

The alkynyl group may be a straight-chain or branched-chain alkynyl group of 2-8 carbon atoms such as ethynyl, propargyl, 1-methylpropargyl, 1-propynyl, 1-butynyl, 3-3-dimethyl-1-butynyl, 1-pentynyl, 1-hexynyl, 1-heptynyl, and 1-octynyl, for example. The alkynylalkenyl group may be a straight-chain or branched-chain alkynylalkenyl group of 4-8 carbon atoms such as an alkenyl group substituted by an alkynyl group, such as 1-buten-3-ynyl, 1-buten-3-ynyl, 2-buten-4-ynyl, 1-hexen-3-ynyl, 1-hexen-4-ynyl, 2-hexen-4-ynyl, 2-hexen-5-ynyl, and 3-hexen-5-ynyl, for example. The cycloalkyl group may be a cycloalkyl group with 3-8 carbon atoms such as cyclopropyl, cyclobutyl, 2-methylcyclopropyl, cyclopentyl, cyclohexyl, 2-methylcyclohexyl, 1-methylcyclopropyl, 4-methylcyclohexyl, and 4-ethylcyclohexyl, for example.

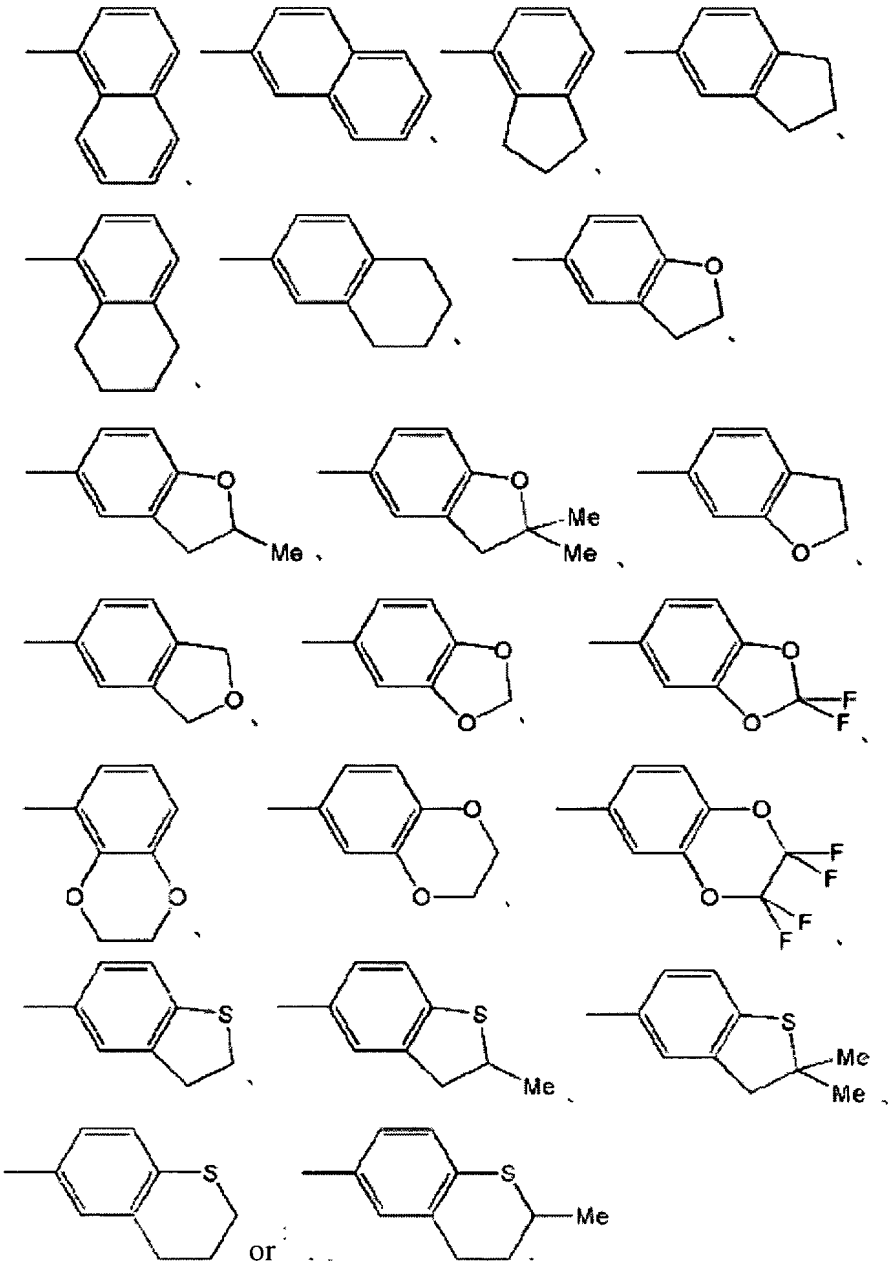
[0007]

The halogen atom may be, for example, a fluorine, chlorine, or bromine atom. The haloalkyl group may be an alkyl group of 1-8 carbon atoms that has been substituted by 1 or more of the aforementioned halogen atoms, which may be the same or different; concrete examples include difluoromethyl, trifluoromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 1-fluoroethyl, 1,2-difluoroethyl, 3-fluoropropyl, 1-fluoropropyl, 2-fluoropropyl, 4-fluorobutyl, 5-fluoropentyl, 6-fluorohexyl, 7-fluoroheptyl, 8-fluorooctyl, 2-chloroethyl, 3-chloropropyl, 4-chlorobutyl, and 3-bromopropyl, for example. The haloalkenyl group may be, for example, one of the aforementioned alkyl groups with 2-6 carbon atoms that has been substituted by 1 or more of the aforementioned halogen atoms, which may be the same or different; concrete examples include 1,2-dichlorovinyl, 2-3,-dichloroaryl, 2-iodo-1-fluorovinyl, 2-chloro-1-fluorovinyl, 2,2-dichloro-1-fluorovinyl, and 2,2-dichlorovinyl, for example; as the haloalkyl group it is possible to mention groups wherein a haloalkyl group is bound to one of the 2 bonding sites of an oxygen atom. As the haloalkylthio group, it is possible to mention groups wherein a haloalkyl group has been bound to one of the 2 bonding sites of a sulfur atom.

[0008]

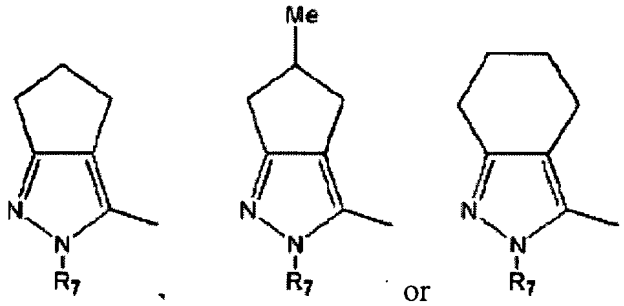
When R^5 and R^6 are adjacent, the bonding of their terminals results in formation of a 5- or 6-member ring (this ring may be substituted or unsubstituted, contain 0-2 oxygen or sulfur atoms within the ring, and be substituted by a halogen atom or an alkyl group of 1-4 carbon atoms), as Ring B; when for example Ring B is a phenyl group, it is expressed by the formulas:

Structure 11



When Ring B is a pyridine ring or cyclohexane ring, it may also be a substituted according to similar rings. The group expressed by the formula may be mentioned as an example of a group formed when R^8 and R^9 are adjacent and bond at the terminals to form a saturated 5-member ring or saturated 6-member ring (these rings may be substituted by an alkyl group of 1-4 carbon atoms), and where for example A is pyrazol-5-yl or its substituted group:

Structure 12



If the bonding site is in a different location, or if A is a ring other than a pyrazole ring, similar substituted moieties according to similar rings may be mentioned. As a substituent group for an optionally substituted phenyl group, the following may be mentioned: halogen atom, alkyl group, haloalkyl group, alkoxy group or haloalkoxy group, for example; concrete examples of optionally substituted phenyl groups, wherein 1, many, identical, or different substitutions are allowed, are a phenyl group, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,5-difluorophenyl, 4-methoxyphenyl, 4-tolyl, 4-ethylphenyl, 3-chlorophenyl, 4-chlorophenyl, 4-n-propylphenyl, 4-isopropylphenyl, 4-(1,1,2,2-tetrafluoroethoxy)phenyl, 4-trifluoromethylphenyl, 4-difluoromethoxyphenyl, and 2,4-dichlorophenyl groups, for example.

[0009]

The "prodrug" may be an article that is readily hydrolyzed in a living organism to regenerate the compound expressed by General Formula (1). For example, if it is a compound that has a carboxyl group, it may be a compound where that carboxyl group has been changed to an alkoxy carbonyl group, a compound where it has been changed to an alkylthiocarbonyl group, or a compound where it has been changed to an alkylaminocarbonyl group. Also, for compounds having an amino group, it may be a compound where that amino group has been changed to an alkanoylamino by substitution with an alkanoyl group, a compound where it has been changed to an alkoxycarbonyl amino by substitution with an alkoxycarbonyl group, a compound where it has been changed to an acyloxymethylamino, or a compound where it has been changed to a hydroxylamine. Or, if it is a compound that has a hydroxyl group, it may be a compound where that hydroxyl group has been changed to an acyloxy group by substitution of an acyl group, a compound where it has been changed to a phosphate ester, or a compound where it has been changed to an acyloxymethoxy group. The alkyl moiety of the group used in the prodrug may also be substituted by an alkoxy group of 1-6 carbon atoms, for example. Preferred examples for the case of a compound where the carboxyl group has been changed to an alkoxycarbonyl group include lower alkoxycarbonyls (for example 1-6 carbon atoms) such as methoxycarbonyl or ethoxycarbonyl, or lower alkoxycarbonyls (for example 1-6 carbon atoms) substituted by an

alkoxy group, such as methoxymethoxycarbonyl, ethoxymethoxycarbonyl, 2-methoxyethoxycarbonyl, 2-methoxyethoxymethoxycarbonyl, or pivaloyloxymethoxycarbonyl, for example.

[0010]

The compound expressed by General Formula (1) may be manufactured by the method described in Kokai Patent Application Nos. Hei 3[1991]-223256 and Hei 3[1991]-81266, for example. The pharmaceutically acceptable salt of the compound expressed by General Formula (1) or prodrug therefor may be a pharmaceutically acceptable acid addition salt or base addition salt. The acid addition salt may be an inorganic acid addition salt or an organic acid addition salt; the inorganic acid addition salt may be the hydrochloric, hydrobromic, sulfuric, or phosphoric acid addition salt; the organic acid addition salt may be the acetic, methanesulfonic, benzenesulfonic, citric, fumaric, maleic, succinic, tartaric, and malic salts, for example. The base addition salt may be an inorganic base addition salt or an organic base addition salt; the inorganic base addition salt may be salts of sodium, potassium, or other alkali metal salts, salts of magnesium, calcium, and other alkali earth metal salts, and salts of ammonium, for example; the organic base addition salt may be salts of arginine, lysine, and other basic amino acids, for example. These salts may be readily manufactured by publicly known means. The invented compound also includes the solvates thereof, such as the hydrates thereof, for example. In some cases an optical isomer, for example derived from R^2 and R^3, may exist for the invented compound, but the invented compound includes all such isomers, and includes mixtures of multiple such isomers, and it is possible to use each of these as a medicine.

[0011]

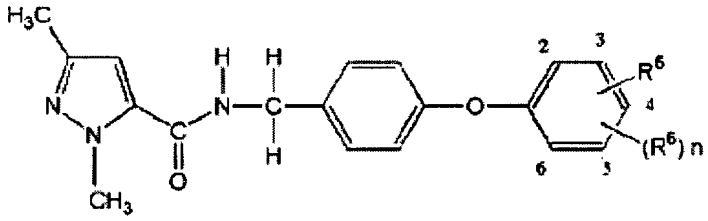
The invented compound has the effect of inhibiting fat accumulation in adipocytes, and is useful for the prevention and treatment of enlargement of adipose tissue and accompanying diseases. More concretely, it is effective as a preventative agent and/or therapeutic agent against hyperlipidemia, hyperglyceridemia, diabetes, lowered glucose tolerance, and obesity that accompany enlargement of visceral fat tissues or body fat tissues, and it is anticipated to be effective for prevention and/or treatment of high blood pressure, coronary artery disease, and arteriosclerosis obliterans, for example. The administration of a medicinal composition that contains the invented compound may be conducted by ordinary routes of administration such as by oral, intramuscular, intravenous, subcutaneous, intraperitoneal, or intranasal administration, for example. The dosage and frequency of administration will differ according to the administration route, severity of symptoms, age, and body weight, for example, and there are no particular limitations, but ordinarily it will be administered to an adult in a daily dosage of

approximately 1 mg through 1 g once a day or several times a day (for example, 2-4 times daily). The administration dosage form may be powders, grains, granules, tablet, capsules, suppositories, injections, or nasal formulations, for example. As for the formulation, it may be manufactured using ordinary drug carriers and methods. Specifically, when formulating an oral formulation, first binders, disintegrants, lubricants, and colorants, for example, are added as necessary, and this is rendered into the form of tablets, granules, powders, or capsules by ordinary methods. When formulating an injectable preparation, first pH adjusters, buffers, stabilizers, and solubilizers, for example, are added as necessary, and this is rendered into the form of an injectable preparation by ordinary methods.

[0012]

The compounds shown in Tables 1-40 below are given as concrete examples of the invented compound. However, these compounds are illustrative of the present invention, but do not limit the present invention in any way.

Structure 13



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0013]

Table 1

(1) 化合物番号	R^5	$(R^6)_n$
1-1	4-CH_3	H
1-2	3-CH_3	H
1-3	2-CH_3	H
1-4	4-C_2H_5	H
1-5	3-C_2H_5	H
1-6	4-n-C_3H_7	H
1-7	3-n-C_3H_7	H
1-8	4-i-C_3H_7	H
1-9	3-i-C_3H_7	H
1-10	4-n-C_4H_9	H
1-11	3-n-C_4H_9	H
1-12	4-i-C_4H_9	H
1-13	3-i-C_4H_9	H
1-14	4-sec-C_4H_9	H
1-15	3-sec-C_4H_9	H
1-16	4-n-C_5H_{11}	H
1-17	3-n-C_5H_{11}	H
1-18	4-i-C_5H_{11}	H
1-19	3-i-C_5H_{11}	H
1-20	4-sec-C_5H_{11}	H
1-21	3-sec-C_5H_{11}	H
1-22	4-neo-C_5H_{11}	H
1-23	3-neo-C_5H_{11}	H
1-24	4-n-C_6H_{13}	H
1-25	3-n-C_6H_{13}	H
1-26	4-n-C_7H_{15}	H
1-27	3-n-C_7H_{15}	H
1-28	4-n-C_8H_{17}	H
1-29	3-n-C_8H_{17}	H
1-30	4-CF_2H	H
1-31	3-CF_2H	H
1-32	4-CF_3	H
1-33	3-CF_3	H
1-34	4-CF_2CF_3	H
1-35	4-$CF_2CF_2CF_3$	H
1-36	4-CH_2CF_3	H
1-37	2-Cl	H
1-38	3-Cl	H
1-39	4-Cl	H
1-40	3-F	H
1-41	4-F	H
1-42	4-Br	H
1-43	3-Br	H
1-44	3-$CH_2CH=CH_2$	H
1-45	4-$CH_2CH=CH_2$	H
1-46	4-$CH_2-C(CH_3)=CH_2$	H
1-47	4-C≡CH	H
1-48	4-$CH_2-C\equiv CH$	H
1-49	4-$CH_2-C\equiv C-CH_3$	H
1-50	3-OCH_3	H
1-51	4-OCH_3	H
1-52	3-OC_2H_5	H
1-53	4-OC_2H_5	H
1-54	3-O-i-C_3H_7	H
1-55	4-O-i-C_3H_7	H
1-56	4-O-n-C_3H_7	H
1-57	4-O-n-C_4H_9	H
1-58	4-O-n-C_5H_{11}	H
1-59	4-O-n-C_6H_{13}	H
1-60	3-OCF_2H	H
1-61	4-OCF_2H	H
1-62	4-OCF_3	H
1-63	3-$OCBrF_2$	H
1-64	4-$OCBrF_2$	H
1-65	4-OCF_2CF_2H	H
1-66	3-OCF_2CF_2H	H
1-67	4-OCH_2CF_3	H
1-68	3-OCH_2CF_3	H
1-69	4-OCF_2CClFH	H
1-70	3-OCF_2CClFH	H
1-71	4-OCF_2CCl_2H	H
1-72	4-OCF_2CFHCF_3	H
1-73	3-OCH_2CH_2F	H
1-74	4-OCH_2CH_2F	H
1-75	3-$OCH_2CH=CH_2$	H
1-76	4-$OCH_2CH=CH_2$	H
1-77	4-$OC(CH_3)HCH=CH_2$	H
1-78	4-$OCH_2C(CH_3)=CH_2$	H
1-79	3-$OCH_2C\equiv CH$	H
1-80	4-$OCH_2C\equiv CH$	H
1-81	4-$OCH_2C\equiv CCH_3$	H
1-82	3-SCH_3	H
1-83	4-SCH_3	H
1-84	3-SC_2H_5	H
1-85	4-SC_2H_5	H
1-86	3-S-n-C_3H_7	H
1-87	4-S-n-C_3H_7	H
1-88	3-S-i-C_3H_7	H
1-89	4-S-i-C_3H_7	H
1-90	3-S-n-C_4H_9	H
1-91	4-S-n-C_4H_9	H
1-92	3-S-i-C_4H_9	H
1-93	4-S-i-C_4H_9	H
1-94	3-S-sec-C_4H_9	H
1-95	4-S-sec-C_4H_9	H
1-96	3-S-n-C_5H_{11}	H
1-97	4-S-n-C_5H_{11}	H
1-98	4-S-$CH(C_2H_5)_2$	H
1-99	4-S-$CH(CH_3)C_3H_7$	H
1-100	4-S-$CH_2CH(CH_3)C_2H_5$	H
1-101	4-S-$CH_2CH_2CH(CH_3)_2$	H
1-102	4-S-$CH_2C(CH_3)_3$	H
1-103	4-S-tert-C_4H_9	H
1-104	4-S-n-C_6H_{13}	H
1-105	4-S-n-C_7H_{15}	H
1-106	4-S-n-C_8H_{17}	H
1-107	4-SCF_2H	H
1-108	4-S-$CH_2-(CF_2)_3-CF_3$	H
1-109	3-SCF_3	H
1-110	4-SCF_3	H
1-111	3-SCH_2CH_2F	H
1-112	4-SCH_2CH_2F	H
1-113	3-SCH_2CF_3	H
1-114	4-SCH_2CF_3	H
1-115	3-SCF_2CF_2H	H
1-116	4-SCF_2CF_2H	H
1-117	3-SCF_2CClFH	H
1-118	4-SCF_2CClFH	H
1-119	4-SCF_2CCl_2H	H
1-120	3-SCF_2CFHCF_3	H
1-121	4-SCF_2CFHCF_3	H
1-122	4-$SCF_2CFHCF_2CF_3$	H
1-123	3-$SCH_2CF_2CF_3$	H
1-124	4-$SCH_2CF_2CF_3$	H
1-125	3-$SCH_2CF_2CF_2CF_3$	H
1-126	4-$SCH_2CF_2CF_2CF_3$	H
1-127	3-$SCH_2CH=CH_2$	H
1-128	4-$SCH_2CH=CH_2$	H
1-129	4-$SCH(CH_3)CH=CH_2$	H
1-130	4-$SCH_2C(CH_3)=CH_2$	H

Key: 1 Compound number

[0014]

Table 2

① 化合物番号	R^5	$(R^6)_n$
1-131	4-$SCH_2CH=CHCH_3$	H
1-132	3-$SCH_2C\equiv CH$	H
1-133	4-$SCH_2C\equiv CH$	H
1-134	4-$SCH(CH_3)C\equiv CH$	H
1-135	4-$SCH_2C\equiv CCH_3$	H
1-136	3-$SCH_2CH=CH-C\equiv CH$	H
1-137	4-$SCH_2CH-CH-C\equiv CH$	H
1-138	3-CH_2OCH_3	H
1-139	4-CH_2OCH_3	H
1-140	3-$CH_2OC_2H_5$	H
1-141	4-$CH_2OC_2H_5$	H
1-142	4-CH_2O-n-C_3H_7	H
1-143	4-CH_2O-i-C_3H_7	H
1-144	4-CH_2O-n-C_4H_9	H
1-145	4-CH_2O-n-C_5H_{11}	H
1-146	4-CH_2O-n-C_6H_{13}	H
1-147	4-CH_2O-n-C_7H_{15}	H
1-148	3-$S(O)CH_3$	H
1-149	4-$S(O)CH_3$	H
1-150	3-$S(O)C_2H_5$	H
1-151	4-$S(O)C_2H_5$	H
1-152	4-$S(O)$-n-C_3H_7	H
1-153	4-$S(O)$-n-C_4H_9	H
1-154	4-$S(O)$-i-C_3H_7	H
1-155	3-$S(O)CF_2H$	H
1-156	4-$S(O)CF_2H$	H
1-157	3-$S(O)CF_3$	H
1-158	4-$S(O)CF_3$	H
1-159	4-$S(O)CF_2CF_2H$	H
1-160	3-$S(O)CF_2CF_2H$	H
1-161	3-$S(O)CH_2CF_3$	H
1-162	4-$S(O)CH_2CF_3$	H
1-163	4-$S(O)CH_2CF_2CF_3$	H
1-164	4-$S(O)CF_2CFHCF_3$	H
1-165	3-$S(O)_2CH_3$	H
1-166	4-$S(O)_2CH_3$	H
1-167	3-$S(O)_2C_2H_5$	H
1-168	4-$S(O)_2C_2H_5$	H
1-169	3-$S(O)_2CF_2H$	H
1-170	4-$S(O)_2CF_2H$	H
1-171	3-$S(O)_2CF_3$	H
1-172	4-$S(O)_2CF_3$	H
1-173	3-$S(O)_2CH_2CF_3$	H
1-174	4-$S(O)_2CH_2CF_3$	H
1-175	3-$S(O)_2CF_2CF_2H$	H
1-176	4-$S(O)_2CF_2CF_2H$	H
1-177	3-OSO_2CH_3	H
1-178	4-OSO_2CH_3	H
1-179	3-$OSO_2C_2H_5$	H
1-180	4-$OSO_2C_2H_5$	H
1-181	4-OSO_2-i-C_3H_7	H
1-182	4-OSO_2-n-C_3H_7	H
1-183	4-OSO_2-n-C_4H_9	H
1-184	3-OSO_2CF_3	H
1-185	4-OSO_2CF_3	H
1-186	3-$NHCH_3$	H
1-187	4-$NHCH_3$	H
1-188	3-NHC_2H_5	H
1-189	4-NHC_2H_5	H
1-190	4-NH-n-C_3H_7	H
1-191	4-NH-i-C_3H_7	H
1-192	4-NH-n-C_4H_9	H
1-193	4-NH-n-C_5H_{11}	H
1-194	3-$NHCH_2CH_2F$	H
1-195	4-$NHCH_2CH_2F$	H

① 化合物番号	R^5	$(R^6)_n$
1-196	3-$NHCH_2CF_3$	H
1-197	4-$NHCH_2CF_3$	H
1-198	3-$N(CH_3)_2$	H
1-199	4-$N(CH_3)_2$	H
1-200	3-$N(CH_3)C_2H_5$	H
1-201	4-$N(CH_3)C_2H_5$	H
1-202	4-$N(C_2H_5)_2$	H
1-203	3-$N(C_2H_5)_2$	H
1-204	4-$N(CH_3)$-n-C_3H_7	H
1-205	4-NHCOH	H
1-206	4-$NHCOCH_3$	H
1-207	4-$NHCOC_2H_5$	H
1-208	3-$NHCOCH_3$	H
1-209	3-$NHCOC_2H_5$	H
1-210	3-N=$CHCH_3$	H
1-211	4-N=CHC_2H_5	H
1-212	3-N=CHC_2H_5	H
1-213	4-N=$CHCH_3$	H
1-214	3-N=CH-$NHCH_3$	H
1-215	4-N=CH-$NHCH_3$	H
1-216	3-N=CH-NHC_2H_5	H
1-217	4-N=CH-NHC_2H_5	H
1-218	4-N=$C(CH_3)$-$NHCH_3$	H
1-219	4-N=$C(CH_3)$-NHC_2H_5	H
1-220	3-N=CH-$N(CH_3)_2$	H
1-221	4-N=CH-$N(CH_3)_2$	H
1-222	4-N=CH-$N(C_2H_5)_2$	H
1-223	4-N=CH-$N(CH_3)C_2H_5$	H
1-224	3-N=$C(CH_3)$-$N(CH_3)_2$	H
1-225	4-N=$C(CH_3)$-$N(C_2H_5)_2$	H
1-226	4-N=$C(CH_3)$-$N(CH_3)_2$	H
1-227	3-N=$C(CH_3)$-$N(C_2H_5)_2$	H
1-228	4-N=$C(CH_3)$-$N(CH_3)C_2H_5$	H
1-229	4-$NHSO_2CH_3$	H
1-230	3-$NHSO_2CH_3$	H
1-231	4-$NHSO_2C_2H_5$	H
1-232	4-$NHSO_2$-n-C_3H_7	H

① 化合物番号	R^5	$(R^6)_n$
1-233	2-CH_3	3-CH_3
1-234	2-CH_3	4-CH_3
1-235	2-CH_3	5-CH_3
1-236	2-CH_3	3,4-$(CH_3)_2$
1-237	2-CH_3	4,5-$(CH_3)_2$
1-238	2-CH_3	4,6-$(CH_3)_2$
1-239	2-CH_3	4-C_2H_5
1-240	2-CH_3	5-C_2H_5
1-241	2-CH_3	3,4,5-$(CH_3)_3$
1-242	2-CH_3	3-Cl
1-243	2-CH_3	4-Cl
1-244	2-CH_3	5-Cl
1-245	2-CH_3	3-CH_3, 4-Cl
1-246	2-CH_3	3-Cl, 4-CH_3
1-247	2-CH_3	3-CH_3, 4-Br
1-248	2-CH_3	3-CH_3, 4-C_2H_5
1-249	2-CH_3	3-CH_3, 4-n-C_3H_7
1-250	2-CH_3	4-n-C_3H_7
1-251	4-n-C_4H_9	2-CH_3
1-252	4-n-C_4H_9	2,3-$(CH_3)_2$
1-253	4-n-C_5H_{11}	2,3-$(CH_3)_2$
1-254	2-CH_3	3-Cl, 4-C_2H_5
1-255	2-CH_3	3-Cl, 4-n-C_3H_7
1-256	2-CH_3	4-CF_3
1-257	2-CH_3	4-CH_2-CH=CH_2

Key: 1 Compound number

[0015]

Table 3

① 化合物番号	R^5	$(R^6)_n$
1-258	2-CH_3	4-OCH_3
1-259	2-CH_3	4-OC_2H_5
1-260	2-CH_3	4-O-n-C_3H_7
1-261	2-CH_3	4-OCF_2H
1-262	2-CH_3	4-OCF_2CF_2H
1-263	2-CH_3	4-OCH_2-CH=CH_2
1-264	2-CH_3	4-$OCH_2C{\equiv}CH$
1-265	2-CH_3	4-SCH_3
1-266	2-CH_3	5-SCH_3
1-267	2-CH_3	4-SC_2H_5
1-268	2-CH_3	5-SC_2H_5
1-269	2-CH_3	4-S-n-C_3H_7
1-270	2-CH_3	5-S-n-C_3H_7
1-271	4-S-n-C_4H_9	2-CH_3
1-272	2-CH_3	4-S-i-C_3H_7
1-273	4-S-n-C_5H_{11}	2-CH_3
1-274	4-S-n-C_6H_{13}	2-CH_3
1-275	2-CH_3	4-SCF_2H
1-276	2-CH_3	5-SCF_2H
1-277	2-CH_3	4-SCF_3
1-278	2-CH_3	5-SCF_3
1-279	2-CH_3	4-SCF_2CF_2H
1-280	2-CH_3	5-SCF_2CF_2H
1-281	2-CH_3	4-SCH_2CF_3
1-282	2-CH_3	5-SCH_2CF_3
1-283	2-CH_3	4-SCH_2CH_2F
1-284	2-CH_3	5-SCH_2CH_2F
1-285	2-Cl	4-CH_3
1-286	2-Cl	4-SCH_3
1-287	2-Br	4-CH_3
1-288	2-Cl	4-C_2H_5
1-289	2-Cl	4-n-C_3H_7
1-290	2-Cl	4-SC_2H_5
1-291	2-Br	4-C_2H_5
1-292	2-Br	4-SCH_3
1-293	2-Br	4-SC_2H_5
1-294	2-CH_3	4-CH_2-$C{\equiv}CH$
1-295	2-CH_3	4-S-CH_2CH=CH_2
1-296	2-CH_3	4-S-CH_2-$C{\equiv}CH$
1-297	2-CH_3	4-CH_2OCH_3
1-298	4-$CH_2CH_2OC_2H_5$	2-CH_3
1-299	2-CH_3	4-$S(O)CH_3$
1-300	2-CH_3	4-$S(O)C_2H_5$
1-301	2-CH_3	4-$S(O)CF_2H$
1-302	2-CH_3	4-$S(O)CF_2CF_2H$
1-303	2-CH_3	4-$S(O)CH_2CF_3$
1-304	2-CH_3	4-$S(O)_2CH_3$
1-305	2-CH_3	4-$S(O)_2C_2H_5$
1-306	2-CH_3	4-$S(O)_2CF_2H$
1-307	2-CH_3	4-$S(O)_2CF_2CF_2H$
1-308	2-CH_3	4-$S(O)_2CH_2CF_3$
1-309	2-CH_3	4-OSO_2CH_3
1-310	2-CH_3	4-$OSO_2C_2H_5$
1-311	2-CH_3	4-OSO_2CF_3
1-312	2-CH_3	3,5-$(CH_3)_2$
1-313	2-CH_3	3,6-$(CH_3)_2$
1-314	3-CH_3	4-CH_3
1-315	3-CH_3	5-CH_3
1-316	3-CH_3	4-C_2H_5
1-317	3-CH_3	5-C_2H_5
1-318	2-Cl	3-Cl
1-319	2-Cl	4-Cl
1-320	2-Cl	4-Br
1-321	2-Cl	5-Cl
1-322	2-Br	4-Cl

① 化合物番号	R^5	$(R^6)_n$
1-323	3-Cl	4-Cl
1-324	3-Cl	5-Cl
1-325	2-Cl	3,6-Cl_2
1-326	2-Cl	4,6-Cl_2
1-327	3-F	4-SCH_3
1-328	3-Cl	4-SCH_3
1-329	3-Br	4-SCH_3
1-330	3-F	4-SC_2H_5
1-331	3-Cl	4-SC_2H_5
1-332	3-Br	4-SC_2H_5
1-333	3-F	4-SCF_2H
1-334	3-Cl	4-SCF_2H
1-335	3-Br	4-SCF_2H
1-336	3-Cl	4-SCF_3
1-337	3-Br	4-SCF_3
1-338	3-Cl	4-SCF_2CF_2H
1-339	3-F	4-SCF_2CF_2H
1-340	3-Br	4-SCF_2CF_2H
1-341	3-Cl	4-SCH_2CF_3
1-342	3-Br	4-SCH_2CF_3
1-343	3-Cl	4-SCH_2CH_2F
1-344	3-F	4-SCH_2CH_2F
1-345	3-CH_3	4-SCH_3
1-346	3-CH_3	4-SC_2H_5
1-347	3-CH_3	4-SCF_2H
1-348	3-CH_3	4-SCH_2CH_2F
1-349	3-CH_3	4-SCF_3
1-350	3-CH_3	4-SCF_2CF_2H
1-351	3-CH_3	4-SCH_2CF_3
1-352	4-SCH_3	2,5-Cl_2
1-353	4-SCH_3	2-Cl,5-CH_3
1-354	4-SCH_3	2-CH_3,5-Cl
1-355	4-SCH_3	2-F,5-CH_3
1-356	4-SCH_3	2-CH_3,5-F
1-357	4-SC_2H_5	2,5-Cl_2
1-358	4-SC_2H_5	2-Cl,5-CH_3
1-359	4-SC_2H_5	2-CH_3,5-Cl
1-360	4-SC_2H_5	2-F,5-CH_3
1-361	4-SC_2H_5	2-CH_3,5-F
1-362	4-SCH_3	2,3-Cl_2
1-363	4-SC_2H_5	2,3-Cl_2
1-364	4-SCF_2H	2,3-Cl_2
1-365	4-SCF_2H	2,5-Cl_2
1-366	4-SCF_2H	2-Cl,5-CH_3
1-367	4-SCF_2H	2-CH_3,5-F
1-368	4-SCF_2H	2-F,5-CH_3
1-369	4-SCF_2H	2-CH_3,6-F
1-370	4-SCF_2CF_2H	2,3-Cl_2
1-371	4-SCF_2CF_2H	2,5-Cl_2
1-372	4-SCF_2CF_2H	2-Cl,5-CH_3
1-373	4-SCF_2CF_2H	2-CH_3,5-Cl
1-374	4-SCH_2CF_3	2,3-Cl_2
1-375	4-SCH_2CF_3	2,5-Cl_2
1-376	4-SCH_2CF_3	2-Cl,5-CH_3
1-377	4-SCH_2CF_3	2-CH_3,5-Cl
1-378	4-SCH_2CH_2F	2,3-Cl_2
1-379	4-SCH_2CH_2F	2,5-Cl_2
1-380	4-SCH_2CH_2F	2-Cl,5-CH_3
1-381	4-SCH_2CH_2F	2-CH_3,5-Cl
1-382	3-C_2H_5	4-SCH_3
1-383	3-C_2H_5	4-SC_2H_5
1-384	3-C_2H_5	4-SCF_2H
1-385	3-C_2H_5	4-SCH_2CF_3
1-386	3-C_2H_5	4-SCF_2CF_2H
1-387	4-SCH_3	2,3-$(CH_3)_2$

Key: 1 Compound number

[0016]

Table 4

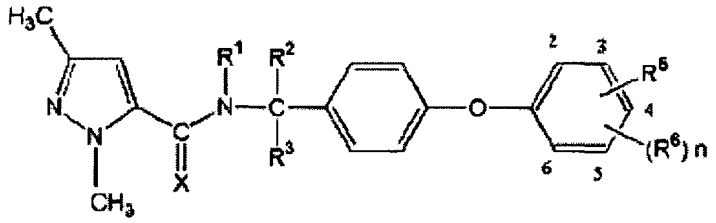
① 化合物番号	R^5	$(R^6)_n$
1-388	4-SC_2H_5	2,3-$(CH_3)_2$
1-389	4-SCF_2H	2,3-$(CH_3)_2$
1-390	4-SCH_2CF_3	2,3-$(CH_3)_2$
1-391	4-SCH_2CH_2F	2,3-$(CH_3)_2$
1-392	4-SCF_2CF_2H	2,3-$(CH_3)_2$
1-393	4-SCH_3	2,3,5-$(CH_3)_3$
1-394	4-SC_2H_5	2,3,5-$(CH_3)_3$
1-395	4-SCF_2H	2,3,5-$(CH_3)_3$
1-396	4-SCH_2CF_3	2,3,5-$(CH_3)_3$
1-397	4-SCH_2CH_2F	2,3,5-$(CH_3)_3$
1-398	4-SCF_2CF_2H	2,3,5-$(CH_3)_3$
1-399	4-SCH_3	3,5-$(CH_3)_2$
1-400	4-SC_2H_5	3,5-$(CH_3)_2$
1-401	4-SCF_2H	3,5-$(CH_3)_2$
1-402	4-SCH_2CF_3	3,5-$(CH_3)_2$
1-403	4-SCF_2CF_2H	3,5-$(CH_3)_2$
1-404	4-SCH_3	2,5-$(CH_3)_2$
1-405	4-SC_2H_5	2,5-$(CH_3)_2$
1-406	4-SCF_2H	2,5-$(CH_3)_2$
1-407	4-SCH_2CF_3	2,5-$(CH_3)_2$
1-408	4-SCF_2CF_2H	2,5-$(CH_3)_2$
1-409	3-Cl	4-S(O)CH_3
1-410	3-Br	4-S(O)CH_3
1-411	3-F	4-S(O)CH_3
1-412	3-Cl	4-S(O)C_2H_5
1-413	3-Br	4-S(O)C_2H_5
1-414	3-F	4-S(O)C_2H_5
1-415	4-S(O)CH_3	2,5-Cl_2
1-416	4-S(O)CH_3	2,3-Cl_2
1-417	4-S(O)CH_3	2-Cl,5-CH_3
1-418	4-S(O)CH_3	2-F,5-CH_3
1-419	4-S(O)CH_3	2-CH_3,5-Cl
1-420	4-S(O)CH_3	2-CH_3,5-F
1-421	4-S(O)C_2H_5	2,5-Cl_2
1-422	4-S(O)C_2H_5	2,5-$(CH_3)_2$
1-423	14-S(O)C_2H_5	2-Cl,5-CH_3
1-424	4-S(O)C_2H_5	2-CH_3,5-Cl
1-425	4-S(O)C_2H_5	2-F,5-CH_3
1-426	4-S(O)C_2H_5	2-CH_3,5-F
1-427	3,4- {O-CH_2-O}	
1-428	3,4- {O-CF_2-O}	
1-429	3,4- {O-CH_2-CH_2-O}	
1-430	3,4- {O-CF_2-CF_2-O}	
1-431	3,4- {CH_2-CH_2-CH_2}	
1-432	3,4- {CH_2-CH_2-CH_2-CH_2}	
1-433	3,4- {O-CH_2-CH_2}	
1-434	3,4- {CH_2-CH_2-O}	
1-435	3,4- {CH_2-CH_2-S}	
1-436	3,4- {CH_2-O-CH_2}	
1-437	3,4- {CH_2-CH(CH_3)-O}	
1-438	3,4- {CH_2-CH(CH_3)-S}	
1-439	3,4- {CH_2-CH_2-CH(CH_3)-S}	
1-440	3,4- {CH_2-C(CH_3)$_2$-O}	
1-441	3,4- {CH_2-C(CH_3)$_2$-S}	
1-442	2-F	4-Cl
1-443	2-F	4-F
1-444	2-F	4-Br
1-445	3-F	5-F
1-446	4-CH_3	3,5-Cl_2
1-447	4-CH_3	3,5-Br_2
1-448	4-C_2H_5	3,5-Cl_2
1-449	3-F	4-CH_3
1-450	3-F	4-C_2H_5
1-451	4-Cl	3,5-$(CH_3)_2$
1-452	4-Br	3,5-$(CH_3)_2$

① 化合物番号	R^5	$(R^6)_n$
1-453	4-Br	2,6-$(CH_3)_2$
1-454	6-CH_3	2,4-Cl_2
1-455	2-Cl	4-Br,6-CH_3
1-456	3-CH_3	4-Cl
1-457	3-CH_3	4-Br
1 458	3-CH_3	4-F
1-459	3-Cl	4-CH_3
1-460	13-Cl	4-C_2H_5
1-461	3-Br	4-CH_3
1-462	3-Br	4-C_2H_5
1-463	2-Cl	4,5-$(CH_3)_2$
1-464	4-Br	3,5-$(CH_3)_2$
1-465	4-Cl	3,5-$(CH_3)_2$
1-466	4-CH_3	2,5-Cl_2
1-467	4-C_2H_5	2,5-Cl_2
1-468	3-Br	4-Br
1-469	3-Br	5-Br
1-470	2-Br	3,6-Br_2
1-471	2-Br	4,6-Br_2
1-472	2-Br	3,4-Br_2
1-473	2-Br	3,5-Br_2
1-474	2-Cl	3,4-Cl_2
1-475	2-Cl	3,5-Cl_2
1-476	2-Cl	4,5-Cl_2
1-477	2-Br	4,5-Br_2
1-478	2-Cl	4-F
1-479	3-Cl	4-F
1-480	2-F	3,5,6-F_3
1-481	2-Cl	3,4,5,6-Cl_4
1-482	3-CH_3	4-Br
1-483	2-Cl	5-CH_3
1-484	2-Cl	6-CH_3
1-485	4-$CH_2CH_2OC_2H_5$	2,3-$(CH_3)_2$
1-486	4-n-C_3H_{11}	2-Cl
1-487	4-$CH_2CH_2OC_2H_5$	2-Cl
1-488	3,4- {CH=CH-CH=CH}	
1-489	3,4- {CH=CH-CCl=CH}	
1-490	3-CH_3	4-OCF_2CF_2H
1-491	3-CH_3	4-OCH_2CF_3
1-492	3-CH_3	4-OCH_2CH_2F
1-493	3-Cl	4-OCF_3
1-494	3-Cl	4-OCH_2CF_3

Key: 1 Compound number

[0017]

Structure 14



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0018]

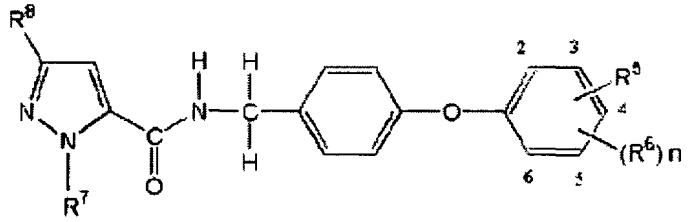
Table 5

① 化合物番号	X	R^1	R^2	R^3	R^5	$(R^6)n$
2－1	O	H	CH_3	H	4-SCH_3	H
2－2	O	H	C_2H_5	H	4-SCH_3	H
2－3	O	H	i-C_3H_7	H	4-SCH_3	H
2－4	O	H	CN	H	4-SC_2H_5	H
2－5	O	H	CH_3	H	4-SCH_3	H
2－6	O	CH_3	H	H	4-SCH_3	H
2－7	O	C_2H_5	H	H	4-SCH_3	H
2－8	S	H	H	H	4-SCH_3	H
2－9	S	H	H	H	4-SCH_3	3-Cl

Key: 1 Compound number

[0019]

Structure 15



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0020]

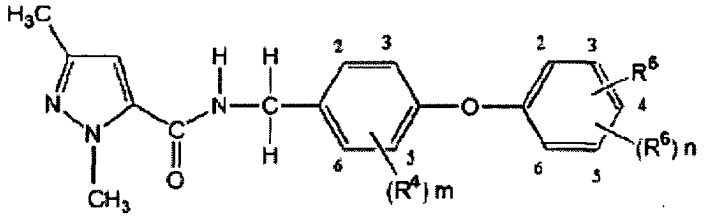
Table 6

① 化合物番号	R^5	$(R^6)n$	R^7	R^8
3－1	4-SCH_3	H	CH_3	C_2H_5
3－2	4-SCH_3	3-Cl	CH_3	C_2H_5
3－3	4-SCH_3	2,5-Cl_2	CH_3	C_2H_5
3－4	4-SCH_3	3-Br	CH_3	C_2H_5
3－5	4-SCH_3	H	CH_3	i-C_3H_7
3－6	4-SCH_3	H	C_2H_5	CH_3
3－7	4-SCH_3	H	i-C_3H_7	CH_3
3－8	4-SCH_3	H	CF_3CH_2	CH_3
3－9	4-SCH_3	H	CH_3	OCH_3
3－10	4-SCH_3	H	CH_3	CF_3
3－11	4-SCH_3	H	CH_3	OC_2H_5

Key: 1 Compound number

[0021]

Structure 16



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0022]

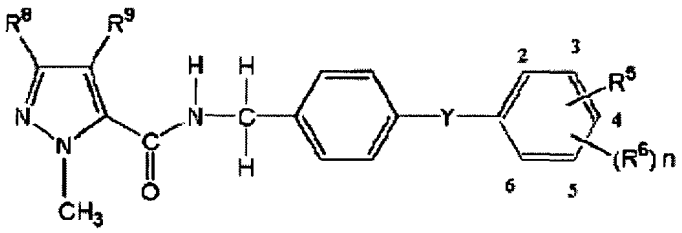
Table 7

① 化合物番号	$(R^4)m$	R^5	$(R^6)n$
4－1	2-F	4-SCH_3	H
4－2	2-F	4-SC_2H_5	H
4－3	2-F	4-SCF_2H	H
4－4	2-F	4-SCH_3	3-Cl
4－5	2,5-F_2	4-SCH_3	H
4－6	3-CH_3	4-SCH_3	H

Key: 1 Compound number

[0023]

Structure 17



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0024]
Table 8

① 化合物番号	Y	R^5	$(R^6)n$	R^8	R^9
5－1	O	4-CH_3	H	CH_3	Cl
5－2	O	4-CH_3	H	CH_3	CH_3
5－3	O	4-C_2H_5	H	CH_3	Cl
5－4	O	4-C_2H_5	H	CH_3	CH_3
5－5	O	4-OC_2H_5	H	CH_3	Cl
5－6	O	4-OC_2H_5	H	CH_3	CH_3
5－7	O	4-SCH_3	H	CH_3	Cl
5－8	O	4-SCH_3	H	CH_3	CH_3
5－9	O	4-OCF_2CF_2H	H	CH_3	Cl
5－10	O	4-SCF_2CF_2H	H	CH_3	Cl
5－11	O	4-NHC_2H_5	H	CH_3	Cl
5－12	O	4-n-C_3H_7	H	CH_3	Cl
5－13	O	4-n-C_4H_9	H	CH_3	Cl
5－14	O	4-OCH_3	H	CH_3	Cl
5－15	O	4-O-n-C_3H_7	H	CH_3	Cl
5－16	O	4-OCF_2CF_2H	H	CH_3	Br
5－17	O	4-SCH_3	H	CH_3	Br
5－18	O	4-OCF_2CF_2H	H	CH_3	CH_3
5－19	O	4-i-C_3H_7	H	CH_3	Cl
5－20	O	3-CH_3	H	CH_3	Cl
5－21	O	3-C_2H_5	H	CH_3	Cl
5－22	O	4-n-C_6H_{13}	H	CH_3	Cl
5－23	O	4-O-n-C_6H_{13}	H	CH_3	Cl
5－24	O	3-OCH_3	H	CH_3	Cl
5－25	O	3-OC_2H_5	H	CH_3	Cl
5－26	S	4-OCH_3	H	CH_3	Cl
5－27	O	2-CH_3	H	CH_3	Cl
5－28	O	4-CF_3	H	CH_3	Cl
5－29	S	4-OCH_3	H	CH_3	Cl
5－30	S	3-OCH_3	H	CH_3	Cl
5－31	S	3-OC_2H_5	H	CH_3	Cl
5－32	O	4-CH_2-CH=CH_2	H	CH_3	Cl
5－33	O	4-O-CH_2-CH=CH_2	H	CH_3	Cl
5－34	O	4-O-CH_2-C≡CH	H	CH_3	Cl
5－35	O	4-S-CH_2-CH=CH_2	H	CH_3	Cl
5－36	O	4-S(O)CH_3	H	CH_3	Cl
5－37	O	4-$S(O)_2CH_3$	H	CH_3	Cl
5－38	O	4-OSO_2CF_3	H	CH_3	Cl
5－39	O	4-$N(CH_3)_2$	H	CH_3	Cl
5－40	O	3-Cl	4-CH_3	CH_3	Cl
5－41	O	3,4- {O-CH_2-O}		CH_3	Cl
5－42	O	3,4- {O-CF_2-O}		CH_3	Cl
5－43	O	3-Cl	4-C_2H_5	CH_3	Cl
5－44	O	3-Cl	4-OC_2H_5	CH_3	Cl
5－45	CH_2	4-OC_2H_5	H	CH_3	Cl
5－46	NH	4-OC_2H_5	H	CH_3	Cl
5－47	NCH_3	4-OC_2H_5	H	CH_3	Cl
5－48	SO_2	4-OC_2H_5	H	CH_3	Cl
5－49	O	4-n-C_3H_7	H	CH_3	Cl
5－50	O	4-n-C_4H_9	H	CH_3	Cl
5－51	O	4-OCH_3	H	CH_3	Cl
5－52	O	4-O-n-C_3H_7	H	CH_3	Cl
5－53	O	4-SCH_3	H	CH_3	Br
5－54	O	4-OCF_2CF_2H	H	CH_3	CH_3
5－55	O	4-i-C_3H_7	H	CH_3	Cl
5－56	O	3-CH_3	H	CH_3	Cl
5－57	O	3-C_2H_5	H	CH_3	Cl
5－58	O	4-n-C_6H_{13}	H	CH_3	Cl
5－59	O	4-O-n-C_6H_{13}	H	CH_3	Cl
5－60	O	3-OCH_3	H	CH_3	Cl
5－61	O	3-OC_2H_5	H	CH_3	Cl
5－62	S	4-OCH_3	H	CH_3	H
5－63	O	2-CH_3	H	CH_3	Cl
5－64	O	4-CF_3	H	CH_3	Cl

Key: 1 Compound number

[0025]
Table 9

① 化合物番号	Y	R^5	$(R^6)n$	R^8	R^9
5－65	S	4-OCH_3	H	CH_3	Cl
5－66	S	3-OCH_3	H	CH_3	H
5－67	S	3-OC_2H_5	H	CH_3	Cl
5－68	O	4-CH_2-CH=CH_2	H	CH_3	Cl
5－69	O	4-O-CH_2-CH=CH_2	H	CH_3	Cl
5－70	O	4-O-CH_2-C≡CH	H	CH_3	Cl
5－71	O	4-S-CH_2-CH=CH_2	H	CH_3	Cl
5－72	O	4-S(O)CH_3	H	CH_3	Cl
5－73	O	3,4- {CH=CH-CH=CH}		CH_3	Cl
5－74	O	3,4- {CH_2-C$(CH_3)_2$-O}		CH_3	Cl
5－75	O	4-OCF_2CCl_2H	H	CH_3	Cl
5－76	O	4-$N(C_2H_5)_2$	H	CH_3	Cl
5－77	O	4-$CH_3CH_2OCH_3$	H	CH_3	Cl
5－78	O	4-$NHCOCH_3$	H	CH_3	Cl
5－79	O	4-$NHCOC_2H_5$	H	CH_3	Cl
5－80	O	4-N=CHC_2H_5	H	CH_3	Cl
5－81	O	4-N=CH-N$(CH_3)_2$	H	CH_3	Cl
5－82	O	4-N=C(CH_3)-N$(CH_3)_2$	H	CH_3	Cl
5－83	O	4-N=C(CH_3)-$NHCH_3$	H	CH_3	Cl
5－84	O	4-$NHSO_2CH_3$	H	CH_3	Cl
5－85	O	4-$NHSO_2C_2H_5$	H	CH_3	Cl
5－86	O	4-OC_2H_5	H	CH_3	Cl
5－87	O	2-CH_3	3-CH_3	CH_3	Cl
5－88	O	3-CH_3	4-CH_3	CH_3	Cl
5－89	O	3-CH_3	5-CH_3	CH_3	Cl
5－90	O	2-CH_3	6-CH_3	CH_3	Cl
5－91	O	3,4- {CH_2-CH_2-CH_2}		CH_3	Cl
5－92	O	2-CH_3	4,5-$(CH_3)_2$	CH_3	Cl
5－93	O	2-CH_3	3,6-$(CH_3)_2$	CH_3	Cl
5－94	O	2-CH_3	4,6-$(CH_3)_2$	CH_3	Cl
5－95	O	2-CH_3	3,5-$(CH_3)_2$	CH_3	Cl
5－96	O	2-CH_3	4-CH_3	CH_3	Cl
5－97	O	2-CH_3	5-CH_3	CH_3	Cl
5－98	O	3-Cl	4-CH_3	CH_3	CH_3
5－99	O	3-Cl	4-Cl	CH_3	Cl
5－100	O	3-Cl	5-Cl	CH_3	Cl
5－101	O	2-Cl	5-Cl	CH_3	Cl
5－102	O	2-Cl	4-Cl	CH_3	Cl
5－103	O	3-Cl	4-F	CH_3	Cl
5－104	O	2-Cl	4-Br	CH_3	Cl
5－105	O	3-F	4-CH_3	CH_3	Cl
5－106	O	3-Br	4-CH_3	CH_3	Cl
5－107	O	3-CH_3	4-Cl	CH_3	Cl
5－108	O	2-CH_3	4-Cl	CH_3	Cl
5－109	O	2-Cl	5-CH_3	CH_3	Cl
5－110	O	4-Cl	3,5-$(CH_3)_2$	CH_3	Cl
5－111	O	2-Cl	4,5-$(CH_3)_2$	CH_3	Cl
5－112	O	2-CH_3	4-Cl	CH_3	Cl
5－113	O	3,4- {O-CH_2-O}		CH_3	Cl
5－114	O	4-SC_2H_5	H	CH_3	Cl
5－115	O	3-SCH_3	H	CH_3	Cl
5－116	O	3-SC_2H_5	H	CH_3	Cl
5－117	O	2-CH_3	4-SCH_3	CH_3	Cl
5－118	O	2-CH_3	3-CH_3,4-SCH_3	CH_3	Cl
5－119	O	3-C_2H_5	4-SCH_3	CH_3	Cl
5－120	O	4-SCH_3	2,6-$(CH_3)_2$	CH_3	Cl
5－121	O	3-CH_3	4-SCH_3	CH_3	Cl
5－122	O	3-F	4-SCH_3	CH_3	Cl
5－123	O	4-SCH_3	2,3,5-$(CH_3)_3$	CH_3	Cl
5－124	O	4-SCH_3	3,5-$(CH_2)_2$	CH_3	Cl
5－125	O	3-OCH_3	4-SCH_3	CH_3	Cl
5－126	O	3-Cl	4-SCH_3	CH_3	Cl
5－127	O	4-SCH_3	2,5-$(CH_3)_2$	CH_3	Cl
5－128	O	3-Cl	4-CH_3	CH_3	CH_3
5－129	O	3-Br	4-SCH_3	CH_3	Cl
5－130	O	4-SCF_2H	H	CH_3	Cl

Key: 1 Compound number

[0026]
Table 10

① 化合物番号	Y	R^5	$(R^5)_n$	R^8	R^9
5－131	O	4-SCF_3	H	CH_3	Cl
5－132	O	4-OCF_3	H	CH_3	Cl
5－133	O	2-Cl	4-CF_3	CH_3	Cl
5－134	O	4-F	H	CH_3	Cl
5－135	O	4-Cl	H	CH_3	Cl
5－136	O	4-Br	H	CH_3	Cl
5－137	O	3-Cl	H	CH_3	Cl
5－138	O	2-Cl	H	CH_3	Cl
5－139	O	4-S-n-C_3H_7	H	CH_3	Cl
5－140	O	4-S-n-C_4H_9	H	CH_3	Cl
5－141	O	4-S-i-C_3H_7	H	CH_3	Cl
5－142	O	2-Br	4-CH_3	CH_3	Cl
5－143	O	2-F	4-F	CH_3	Cl
5－144	O	3-Cl	5-OCH_3	CH_3	Cl
5－145	O	3,4- {CH=CH-CH=CH}		CH_3	Cl
5－146	O	3-OCH_3	5-OCH_3	CH_3	Cl
5－147	O	2-CH_3	4-Cl	CH_3	Cl
5－148	O	4-SC_2H_5	H	CH_3	Br
5－149	O	3-Cl	4-CH_3	CH_3	Br
5－150	O	4-SC_2H_5	H	CH_3	CH_3
5－151	O	4-SCF_2H	H	CH_3	Cl
5－152	O	4-SCF_3	H	CH_3	Cl
5－153	O	4-OCF_3	H	CH_3	Cl
5－154	O	4-SCF_2H	H	CH_3	H
5－155	O	4-OCF_3	H	CH_3	H
5－156	O	2-Cl	3-Cl	CH_3	Cl
5－157	O	4-Cl	3-C_2H_5	CH_3	Cl
5－158	O	3-OCH_3	4-OCH_3	CH_3	Cl
5－159	O	3-OCH_3	4-OC_2H_5	CH_3	Cl
5－160	O	3-Cl	4-SCH_3	CH_3	Br
5－161	O	3-Cl	4-SC_2H_5	CH_3	Cl
5－162	O	4-SCH_3	2,5-Cl_2	CH_3	Cl
5－163	O	2-Cl	4-Cl	CH_3	Cl
5－164	O	2-CH_3	4-SCH_3	CH_3	Cl
5－165	O	3-C_2H_5	4-SCH_3	CH_3	Cl
5－166	OCH_2	4-SCH_3	H	CH_3	Cl
5－167	O	4-Ph	H	CH_3	Cl
5－168	O	3-OC_2H_5	4-OCH_3	CH_3	Cl
5－169	O	3-CH_3	4-Cl	CH_3	H
5－170	O	3-Cl	4-CH_3	CH_3	CH_3
5－171	O	4-SCH_3	3-Cl	CH_3	Cl
5－172	O	H	H	CH_3	Br
5－173	O	4-SC_2H_5	H	OCH_3	Br
5－174	O	4-SC_2H_5	H	OCH_3	H
5－175	O	4-SC_2H_5	H	OC_2H_5	H
5－176	O	4-SC_2H_5	H	O-i-C_3H_7	H
5－177	O	3-Cl	4-CH_3	OCH_3	H
5－178	O	4-SCH_3	3-Cl	OCH_3	H
5－179	O	4-SC_2H_5	3-Cl	OCH_3	H
5－180	O	4-SCH_3	H	OCH_3	CH_3
5－181	O	4-OC_2H_5	H	OCH_3	H
5－182	O	4-OC_2H_5	H	C_2H_5	Cl
5－183	O	4-OC_2H_5	H	i-C_3H_7	Cl
5－184	O	4-OCF_2H	H	CH_3	Cl
5－185	O	4-SCH_3	H	CH_3	Br
5－186	O	4-OCF_2CF_2H	H	CH_3	Br
5－187	O	3-OC_2H_5	H	CH_3	Cl
5－188	O	4-SCH_3	H	OCH_3	Cl
5－189	O	4-SCH_3	H	OC_2H_5	H
5－190	O	4-SCH_3	H	O-i-C_3H_7	H
5－191	O	4-SCH_3	H	OCH_3	Br
5－192	O	4-SCH_3	H	OCH_3	CH_3
5－193	O	3-Cl	4-CH_3	OCH_3	H
5－194	O	3-Cl	4-SC_2H_5	OCH_3	H
5－195	O	4-SCH_3	H	C_2H_5	Cl
5－196	O	3-Cl	4-SCH_3	C_2H_5	Cl

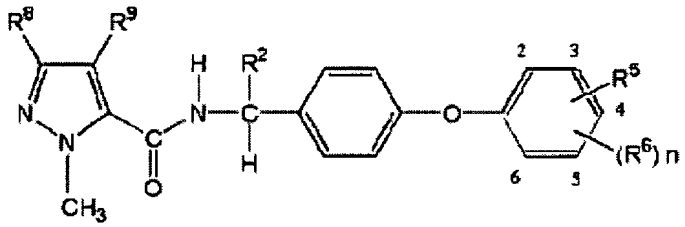
Key: 1 Compound number

[0027]
Table 11

① 化合物番号	Y	R^5	$(R^6)n$	R^8	R^9
5－197	S	H	H	C_2H_5	Cl
5－198	S	4-SCH_3	H	C_2H_5	Cl
5－199	S	4-OCH_3	H	CH_3	Cl
5－200	S	3-OCH_3	H	CH_3	Cl
5－201	S	2-CH_3	H	CH_3	Cl

Key: 1 Compound number

[0028]
Structure 18



[In the formula, the numbers 2-6 enumerate the substitution sites.]

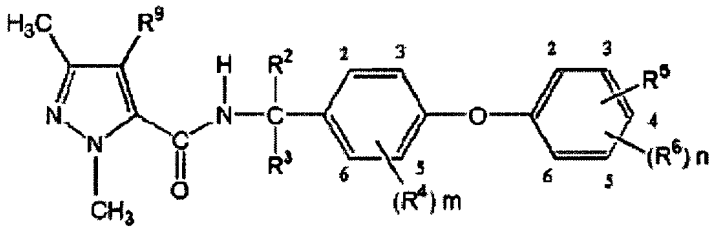
[0029]
Table 12

① 化合物番号	R^2	R^5	$(R^6)n$	R^8	R^9
6－1	CH_3	4-SCH_3	H	OCH_3	Br
6－2	C_2H_5	4-SCH_3	H	CH_3	Br
6－3	CH_3	4-SCH_3	H	OCH_3	H
6－4	C_2H_5	4-SCH_3	H	OCH_3	Br
6－5	C_2H_5	4-SCH_3	H	CH_3	Cl
6－6	CH_3	4-SC_2H_5	H	C_2H_5	Cl
6－7	CN	4-SC_2H_5	H	C_2H_5	Cl
6－8	i-C_3H_7	4-SC_2H_5	H	C_2H_5	Cl
6－9	C_2H_5	4-SCH_3	H	OCH_3	H
6－10	CH_3	4-OC_2H_5	H	CH_3	Cl
6－11	C_2H_5	4-OC_2H_5	H	CH_3	Cl
6－12	CN	4-SCH_3	H	CH_3	Cl
6－13	CN	4-SCH_3	H	CH_3	H
6－14	CH_3	4-SCH_3	H	CH_3	Cl
6－15	CH_3	4-SCH_3	H	CH_3	Br
6－16	CH_3	4-SCH_3	H	CH_3	H
6－17	C_2H_5	4-SCH_3	H	CH_3	H

Key: 1 Compound number

[0030]

Structure 19



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0031]

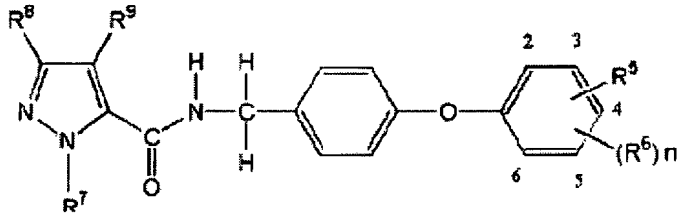
Table 13

① 化合物番号	R^2	R^3	$(R^4)m$	R^5	$(R^6)n$	R^9
7－1	CH_3	CH_3	H	4-OC_2H_5	H	Cl
7－2	H	H	2-F	4-OC_2H_5	H	Cl
7－3	H	H	3-Cl	4-OC_2H_5	H	Cl
7－4	H	H	3-CH_3	4-OC_2H_5	H	Cl

Key: 1 Compound number

[0032]

Structure 20



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0033]

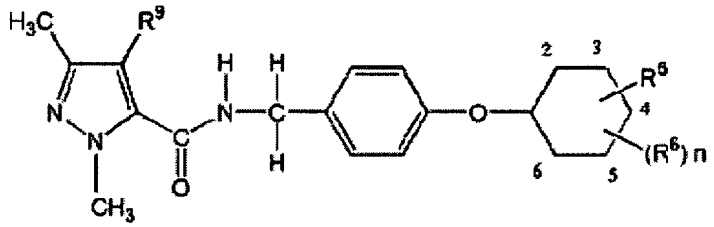
Table 14

① 化合物番号	R^5	$(R^6)n$	R^7	R^8	R^9
8－1	4-OC_2H_5	H	C_2H_5	CH_3	Cl
8－2	4-OC_2H_5	H	i-C_3H_7	CH_3	Cl
8－3	4-OC_2H_5	H	CF_2CH_3	CH_3	Cl

Key: 1 Compound number

[0034]

Structure 21



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0035]

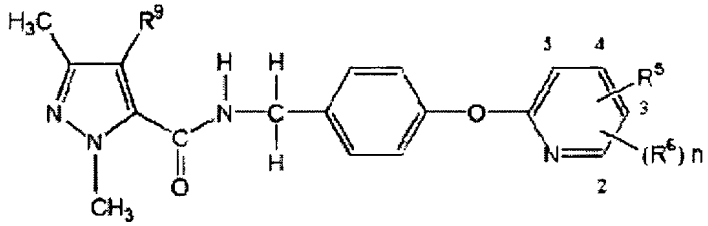
Table 15

① 化合物番号	R^5	$(R^6)n$	R^9
9－1	H	H	H
9－2	2-CH_3	H	H
9－3	3-CH_3	H	H
9－4	4-CH_3	H	H
9－5	2-C_2H_5	H	H
9－6	3-C_2H_5	H	H
9－7	4-C_2H_5	H	H
9－8	4-tert-C_4H_9	H	H
9－9	2-OCH_3	H	H
9－10	2-OC_2H_5	H	H
9－11	2-O-n-C_3H_7	H	H
9－12	2-O-CF_2CF_2H	H	H
9－13	H	H	Cl
9－14	2-CH_3	H	Cl
9－15	3-CH_3	H	Cl
9－16	4-CH_3	H	Cl
9－17	2-C_2H_5	H	Cl
9－18	3-C_2H_5	H	Cl
9－19	4-C_2H_5	H	Cl
9－20	4-tert-C_4H_9	H	Cl
9－21	2-OC_2H_5	H	Cl
9－22	2-O-n-C_3H_7	H	Cl

Key: 1 Compound number

[0036]

Structure 22



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0037]

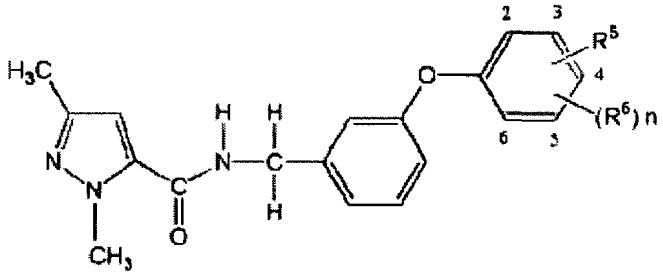
Table 16

① 化合物番号	R^5	$(R^6)n$	R^9
10－1	H	H	H
10－2	2-CH_3	H	H
10－3	3-CH_3	H	H
10－4	4-CH_3	H	H
10－5	2-C_2H_5	H	H
10－6	3-C_2H_5	H	H
10－7	4-C_2H_5	H	H
10－8	4-CF_3	H	H
10－9	2-Cl	4-CF_3	H
10－10	H	H	Cl
10－11	2-CH_3	H	Cl
10－12	3-CH_3	H	Cl
10－13	4-CH_3	H	Cl
10－14	2-C_2H_5	H	Cl
10－15	3-C_2H_5	H	Cl
10－16	4-C_2H_5	H	Cl
10－17	4-CF_3	H	Cl
10－18	2-Cl	4-CF_3	Cl

Key: 1 Compound number

[0038]

Structure 23



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0039]

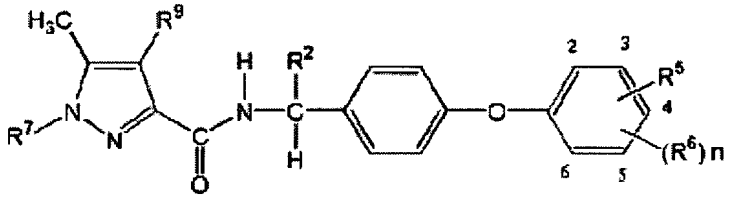
Table 17

① 化合物番号	R^5	$(R^6)_n$	R^9
11－1	H	H	H
11－2	2-CH_3	H	H
11－3	3-CH_3	H	H
11－4	4-CH_3	H	H
11－5	2-C_2H_5	H	H
11－6	3-C_2H_5	H	H
11－7	4-C_2H_5	H	H
11－8	4-SCH_3	H	H
11－9	2-SC_2H_5	H	H
11－10	4-SCH_3	3-Cl	H
11－11	4-SCH_3	2,5-Cl_2	H

Key: 1 Compound number

[0040]

Structure 24



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0041]

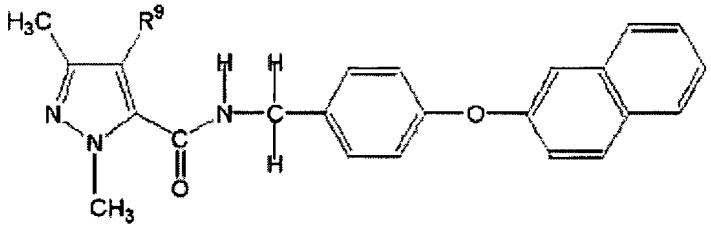
Table 17 [sic; 18]

① 化合物番号	R^2	R^6	$(R^6)n$	R^7	R^9
12－1	H	4-OC_2H_5	H	H	H
12－2	H	4-CH_3	H	H	H
12－3	H	3-F	5-F	H	H
12－4	H	4-C_2H_5	H	H	H
12－5	H	4-n-C_3H_7	H	H	H
12－6	H	4-n-C_4H_9	H	H	H
12－7	H	4-SCH_3	H	H	H
12－8	H	4-SC_2H_5	H	H	H
12－9	H	4-SCF_2CCl_2H	H	H	H
12－10	H	4-SCH_2CF_3	H	H	H
12－11	H	4-SCF_2CF_2H	H	H	H
12－12	H	4-S-n-C_3H_7	H	H	H
12－13	H	4-SC_2H_5	3-Cl	H	H
12－14	H	4-SCH_3	2,5-Cl_2	H	H
12－15	H	4-SCH_3	2-CH_3,5-Cl	H	H
12－16	H	4-SC_2H_5	3-Br	H	H
12－17	H	4-SC_2H_5	3-F	H	H
12－18	H	3-Cl	4-CH_3	CH_3	H
12－19	H	4-SCF_2H	H	CH_3	H
12－20	H	4-SCF_3	H	CH_3	H
12－21	H	4-OCH_3	H	CH_3	H
12－22	H	4-SCH_3	H	CH_3	CH_3
12－23	H	4-SCH_3	H	CH_3	Cl
12－24	H	4-SCH_3	H	CH_3	Br
12－25	H	3-Cl	4-CH_3	CH_3	H
12－26	CN	4-SCH_3	H	CH_3	H
12－27	CN	4-SCH_3	H	CH_3	Cl
12－28	CH_3	4-SCH_3	H	CH_3	H
12－29	C_2H_5	4-SCH_3	H	CH_3	H
12－30	H	3-Cl	4-SCH_3	CH_3	H
12－31	C_2H_5	4-SCH_3	H	CH_3	H
12－32	H	4-OCF_2CHF_2	H	CH_3	H
12－33	H	4-SCF_2H	H	CH_3	H
12－34	H	4-OCF_3	H	CH_3	H

Key: 1 Compound number

[0042]

Structure 25



[0043]

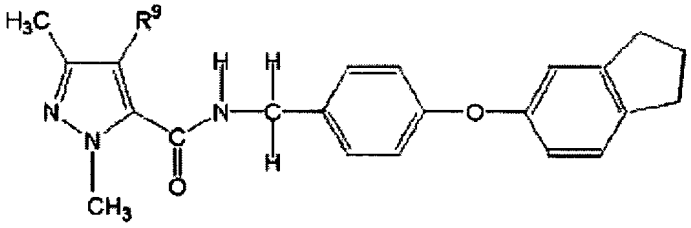
Table 19

① 化合物番号	R^9
13－1	H
13－2	Cl
13－3	Br

Key: 1 Compound number

[0044]

Structure 26



[0045]

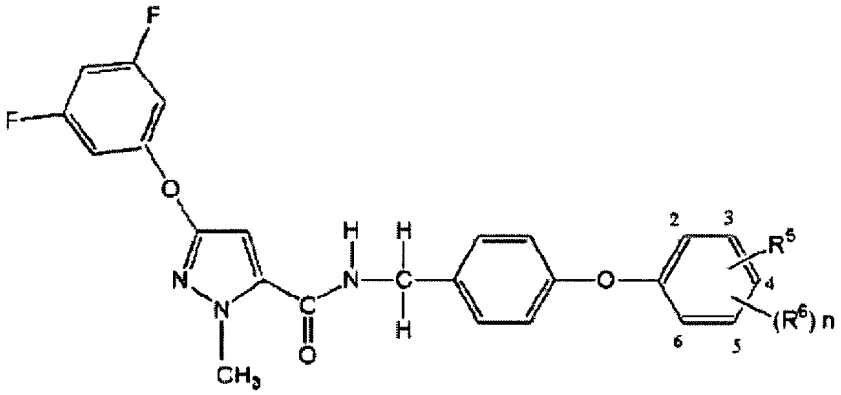
Table 20

① 化合物番号	R^9
14－1	H
14－2	Cl
14－3	Br

Key: 1 Compound number

[0046]

Structure 27



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0047]

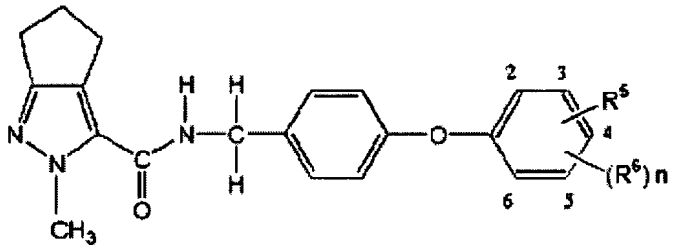
Table 21

① 化合物番号		R^5	$(R^6)_n$
15－1	15	4-SCH_3	H

Key: 1 Compound number

[0048]

Structure 28



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0049]

Table 22

① 化合物番号	R^5	$(R^6)_n$
16－1	4-CH_3	H
16－2	2-CH_3	H
16－3	3-CH_3	H
16－4	2-CH_3	6-CH_3
16－5	2-CH_3	3,5-$(CH_3)_2$
16－6	2-CH_3	4,6-$(CH_3)_2$
16－7	2-CH_3	3,6-$(CH_3)_2$
16－8	3-CH_3	4-CH_3
16－9	3-CH_3	5-CH_3
16－10	2-CH_3	3-CH_3
16－11	3-F	4-CH_3
16－12	2-F	H
16－13	3-n-C_3H_7	H
16－14	4-n-C_3H_7	H
16－15	4-n-C_4H_9	H
16－16	4-i-C_3H_7	H
16－17	4-n-C_6H_{13}	H
16－18	4-tert-C_4H_9	H
16－19	2-Cl	H
16－20	3-Cl	H
16－21	2-Cl	4-Cl
16－22	3-Cl	4-Cl
16－23	3-Cl	5-Cl
16－24	2-Cl	4-Cl
16－25	2-Cl	3-Cl
16－26	2-Cl	5-Cl
16－27	2-Cl	3,6-Cl_2
16－28	2-Cl	4,6-Cl_2
16－29	3-CF_3	H
16－30	4-OCF_3	H
16－31	4-OCF_2CF_2H	H
16－32	3-CF_3	5-Cl
16－33	4-OCF_2H	H
16－34	4-SCF_3	H
16－35	4-SCF_2H	H
16－36	4-SCF_2CF_2H	H
16－37	4-SCH_3	H
16－38	4-SC_2H_5	H
16－39	4-$SOCH_3$	H
16－40	4-SO_2CH_3	H
16－41	4-S-n-C_3H_7	H
16－42	4-S-n-C_4H_9	H
16－43	4-S-i-C_3H_7	H
16－44	3-Cl	4-SCH_3
16－45	2-CH_3	4-SCH_3
16－46	4-SCH_3	2,3-$(CH_3)_2$
16－47	3-C_2H_5	4-SCH_3
16－48	3-CH_3	4-SCH_3
16－49	4-SCH_3	2-CH_3,3-SCH_3,5-CH_3
16－50	3-CH_3	4-SCH_3,5-CH_3
16－51	2-CH_3	4-SCH_3,5-CH_3
16－52	3-F	4-SCH_3
16－53	3-Cl	4-SCH_3
16－54	3-Br	4-SCH_3
16－55	3-OCH_3	4-SCH_3
16－56	3-CH_3	4-$SOCH_3$
16－57	3-CH_3	4-SO_2CH_3
16－58	2-Cl	4-SCH_3,5-Cl
16－59	3,4-{O-CH_2-O}	
16－60	3,4-{O-CF_2-O}	
16－61	3-OCH_3	4-OCH_3
16－62	3-SCH_3	H
16－63	4-NHC_2H_5	H
16－64	4-CH_2-CH=CH_2	H
16－65	4-S-CH_2-CH=CH_2	H

Key: 1 Compound number

[0050]
Table 23

① 化合物番号	構造式	R^5 $(R^6)_n$
16－66	4-OSO_2CF_3	H
16－67	4-$N(CH_3)_2$	H
16－68	4-$NHCOCH_3$	H
16－69	3,4-{CH=CH-CH=CH}	
16－70	3-F	5-F
16－71	3-Cl	4-CH_3, 5-Cl
16－72	3-Br	4-CH_3, 5-Br
16－73	3-C_2H_5	H
16－74	3-CH_3	4-Cl, 5-CH_3
16－75	2-CH_3	4-Br, 6-CH_3
16－76	2-Cl	4-Cl, 6-CH_3
16－77	3-CH_3	4-Cl
16－78	2-Cl	4,5-$(CH_3)_2$
16－79	3-CH_3	4-Br, 5-CH_3
16－80	3-Br	4-Br
16－81	3-Br	5-Br
16－82	2-Br	3,6-Br_2
16－83	2-Br	4,6-Br_2
16－84	2-Br	3,4-Br_2
16－85	2-Br	3,5-Br_2
16－86	4-C_2H_5	H
16－87	4-F	H
16－88	4-I	H
16－89	3-Cl	4-F
16－90	2-Cl	3,4,5,6-Cl_4
16－91	3-CH_3	4-Br
16－92	2-CH_3	4-Cl
16－93	2-Cl	5-CH_3
16－94	2-Cl	6-CH_3
16－95	2-Br	4-CH_3
16－96	3-Cl	4-CH_3
16－97	3-Br	4-CH_3
16－98	3-Cl	4-C_2H_5
16－99	3,4-{CH_2-$C(CH_3)_2$-O}	
16－100	4-$CH_2CH_2OCH_3$	H
16－101	4-$N(C_2H_5)_2$	H
16－102	4-N=CHC_2H_5	H
16－103	4-N=CH-$N(CH_3)_2$	H
16－104	4-N=C(CH_3)-$N(CH_3)_2$	H
16－105	4-N=C(CH_3)-$NHCH_3$	H
16－106	3-Br	4-C_2H_5
16－107	2-Br	4-CH_3, 6-Br
16－108	2-OCH_3	H
16－109	3-OCH_3	H
16－110	4-OCH_3	H
16－111	4-OC_2H_5	H
16－112	4-O-n-C_3H_7	H
16－113	3-OC_2H_5	H
16－114	4-O-n-C_6H_{13}	H
16－115	4-O-CH_2-CH=CH_2	H
16－116	4-O-CH_2-C≡CH	H
16－117	3-OCH_3	5-OCH_3
16－118	3-Cl	4-OCH_3
16－119	3-OCH_3	4,5-$(OCH_3)_2$
16－120	2-Cl	5-OCH_3
16－121	2-CF_3	H
16－122	3-CF_3	H
16－123	4-CF_3	H
16－124	2-Cl	4-CF_3
16－125	2-Cl	3,4-Cl_2
16－126	2-Cl	3,5-Cl_2
16－127	2-Br	H
16－128	3-Br	H
16－129	4-Br	H
16－130	2-Br	4-Br
16－131	2-Br	3-Br

Key: 1 Compound number

[0051]

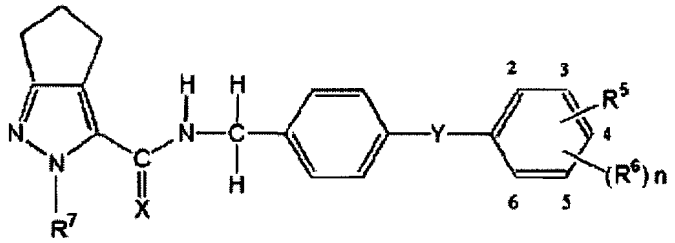
Table 24

① 化合物番号	R^5	$(R^6)n$
16－132	2-Br	5-Br
16－133	4-$NHSO_2CH_3$	H
16－134	4-$NHSO_2C_2H_5$	H
16－135	2,3-{CH_2-CH_2-CH_2}	
16－136	3-Cl	4-SC_2H_5
16－137	2-Cl	4-SC_2H_5, 5-Cl
16－138	3-Br	4-SC_2H_5
16－139	3-F	4-SC_2H_5
16－140	3-Cl	4-S-n-C_3H_7
16－141	3-Cl	4-n-C_4H_9
16－142	4-Cl	H
16－143	4-OCF_2CCl_2H	H
16－144	3-CH_3	4-Cl
16－145	H	H
16－146	4-SCH_3	2,5-Cl_2

Key: 1 Compound number

[0052]

Structure 29



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0053]

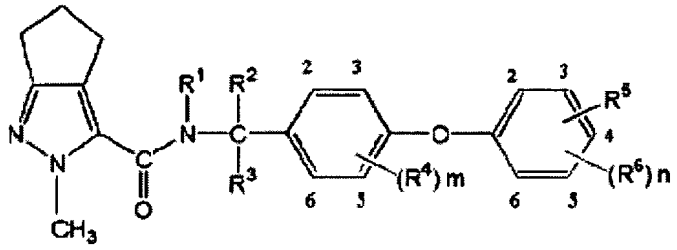
Table 25

① 化合物番号	X	Y	R^5	$(R^6)n$	R^7
17－1	O	S	4-SCH_3	H	CH_3
17－2	O	O	4-SCF_2H	H	C_2H_5
17－3	S	O	4-SCH_3	H	CH_3
17－4	O	O	4-OC_2H_5	H	C_2H_5
17－5	O	O	4-OC_2H_5	H	i-C_3H_7
17－6	O	O	4-OC_2H_5	H	CH_2CF_3
17－7	O	S	4-OCH_3	H	CH_3
17－8	O	S	3-OCH_3	H	CH_3
17－9	O	CH_2	4-OC_2H_5	H	CH_3
17－10	O	NH	4-OC_2H_5	H	CH_3
17－11	O	NCH_3	4-OC_2H_5	H	CH_3
17－12	O	SO_2	4-OC_2H_5	H	CH_3
17－13	O	S	3-CH_3	H	CH_3

Key: 1 Compound number

[0054]

Structure 30



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0055]

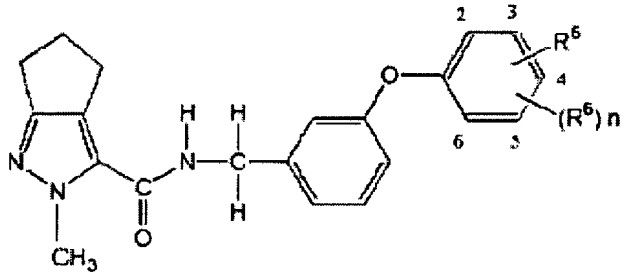
Table 26

① 化合物番号	R^1	R^2	R^3	$(R^4)m$	R^5	$(R^6)n$
18－1	CH_3	H	H	H	3-Cl	4-SCH_3
18－2	CH_3	H	H	H	3-Cl	4-CH_3
18－3	C_2H_5	H	H	H	2-Cl	4-SCH_3, 5-Cl
18－4	C_2H_5	H	H	H	4-SCH_3	H
18－5	H	H	H	2-CH_3	4-SCH_3	H
18－6	H	H	H	2-F, 3-F	3-Br	4-CH_3
18－7	H	CN	H	H	4-SCF_3	H
18－8	H	CH_3	H	H	3-Cl	4-SCH_3
18－9	H	C_2H_5	H	H	3-F	4-SCH_3
18－10	H	CH_3	CH_3	H	3-Br	4-SCH_3
18－11	CH_3	H	H	H	4-OCF_2CF_2H	H
18－12	H	CH_3	H	H	4-OC_2H_5	H
18－13	H	C_2H_5	H	H	4-OC_2H_5	H
18－14	H	CH_3	CH_3	H	4-OC_2H_5	H
18－15	H	H	H	2-F	4-OC_2H_5	H
18－16	H	H	H	3-Cl	4-OC_2H_5	H
18－17	H	H	H	3-CH_3	4-OC_2H_5	H
18－18	H	CH_3	H	H	4-SCH_3	H

Key: 1 Compound number

[0056]

Structure 31



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0057]

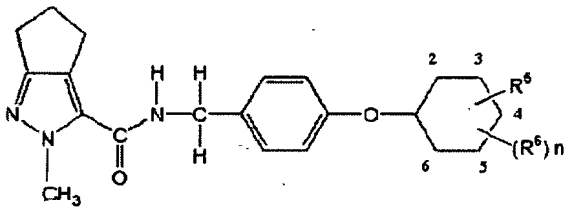
Table 27

① 化合物番号	R^5	$(R^6)n$
19－1	4-SCH_3	H

Key: 1 Compound number

[0058]

Structure 32



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0059]

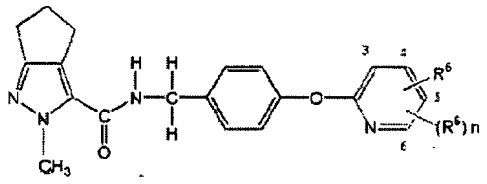
Table 28

① 化合物番号	R^5	$(R^6)n$
20－1	2-OC_2H_5	H
20－2	4-CH_3	H
20－3	4-tert-C_4H_9	H
20－4	4-O-n-C_3H_7	H
20－5	H	H

Key: 1 Compound number

[0060]

Structure 33



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0061]

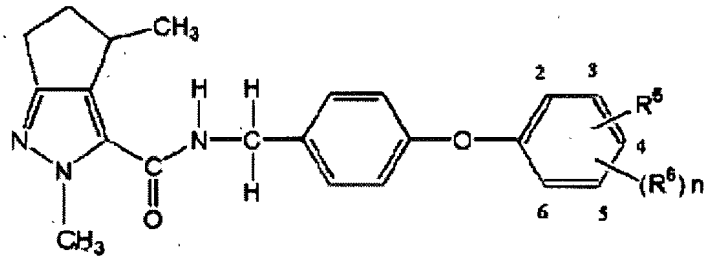
Table 29

① 化合物番号	R^5	$(R^6)n$
21－1	4-CF_3	H
21－2	5-CF_3	H
21－3	4-CF_3	6-Cl

Key: 1 Compound number

[0062]

Structure 34



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0063]

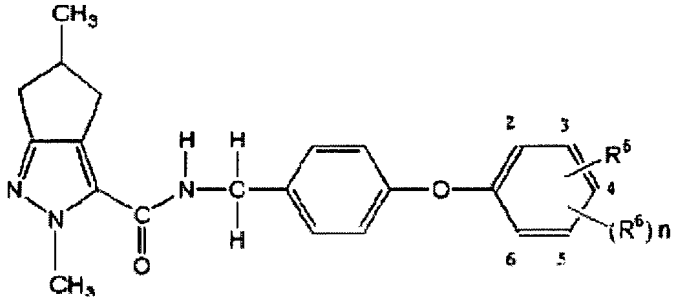
Table 30

① 化合物番号	R^5	$(R^6)n$
22－1	4-CH_3	H
22－2	4-OC_2H_5	H
22－3	4-S-n-C_4H_9	H
22－4	4-OCF_2CF_2H	H
22－5	4-SCF_3	H
22－6	3-Cl	4-CH_3
22－7	3-CH_3	H
22－8	4-Cl	H
22－9	4-n-C_4H_9	H
22－10	4-i-C_3H_7	H
22－11	4-tert-C_4H_9	H
22－12	2-Cl	4-Cl
22－13	4-O-n-C_3H_7	H
22－14	4-O-CH_2-CH=CH_2	H
22－15	4-O-CH_2-C≡CH	H
22－16	2-Cl	4-CF_3
22－17	3-Br	4-Br
22－18	4-$NHCOCH_3$	H
22－19	4-N=CH-$N(CH_3)_2$	H
22－20	4-$NHSO_2CH_3$	H
22－21	2,3-{CH_2-CH_2-CH}	
22－22	4-SCF_2CF_2H	H
22－23	4-SC_2H_5	H
22－24	4-$SOCH_3$	H
22－25	3-Cl	4-SCH_3
22－26	3-CH_3	4-SCH_3
22－27	3-F	4-SCH_3
22－28	2-Cl	4-SCH_3, 5-Cl
22－29	4-OCF_3	H
22－30	3-CH_3	4-Cl
22－31	4-SCH_3	H

Key: 1 Compound number

[0064]

Structure 35



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0065]

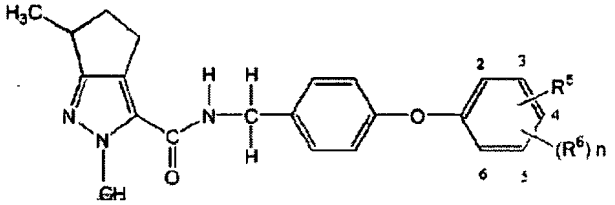
Table 31

① 化合物番号	R^5	$(R^6)n$
23－1	3-CH_3	H
23－2	4-Cl	H
23－3	4-n-C_4H_9	H
23－4	4-i-C_3H_7	H
23－5	4-tert-C_4H_9	H
23－6	4-SCH_3	H
23－7	4-O-n-C_3H_7	H
23－8	4-OCH_2-CH=CH_2	H
23－9	2-Cl	4-CF_3
23－10	3-Br	4-Br
23－11	4-$NHCOCH_3$	H
23－12	4-N=CH-N$(CH_3)_2$	H
23－13	2,3- {CH_2-CH_2-CH_2}	
23－14	4-SCF_2CF_2H	H
23－15	4-SC_2H_5	H
23－16	4-SO_2CH_3	H
23－17	3-Cl	4-SCH_3
23－18	3-CH_3	4-SCH_3
23－19	3-F	4-SCH_3
23－20	3-Br	4-SCH_3
23－21	4-SCF_3	H
23－22	4-SCF_2H	H
23－23	3-Cl	4-CH_3
23－24	3-CH_3	4-Br

Key: 1 Compound number

[0066]

Structure 36



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0067]

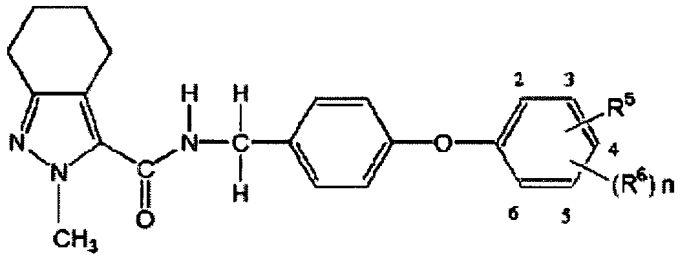
Table 32

① 化合物番号	R^5	$(R^6)n$
24－1	4-SCH_3	H
24－2	4-SC_2H_5	H

Key: 1 Compound number

[0068]

Structure 37



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0069]

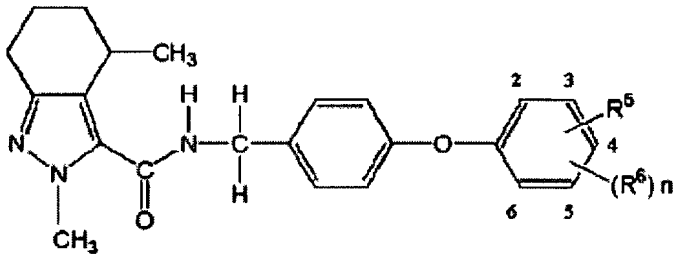
Table 33

① 化合物番号	R^5	$(R^6)n$
25－1	4-CH_3	H
25－2	4-OC_2H_5	H
25－3	4-SCH_3	H
25－4	4-OCF_2CF_2H	H
25－5	4-SCF_3	H
25－6	3-Cl	4-CH_3
25－7	3-CH_3	H
25－8	2-Cl	4-Cl
25－9	4-O-CH_2-CH=CH_2	H
25－10	3-Br	4-Br
25－11	2-Cl	4-CF_3
25－12	4-N=CH-$NHCH_3$	H
25－13	4-$NHSO_2CH_3$	H
25－14	4-SCF_2CF_2H	H
25－15	4-SC_2H_5	H
25－16	4-$SOCH_3$	H
25－17	3-Cl	4-SCH_3
25－18	3-CH_3	4-SCH_3
25－19	3-Br	4-SCH_3
25－20	4-OCF_3	H
25－21	3-CH_3	4-Cl
25－22	4-i-C_3H_7	H
25－23	4-Br	H
25－24	4-OCF_2H	H

Key: 1 Compound number

[0070]

Structure 38



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0071]

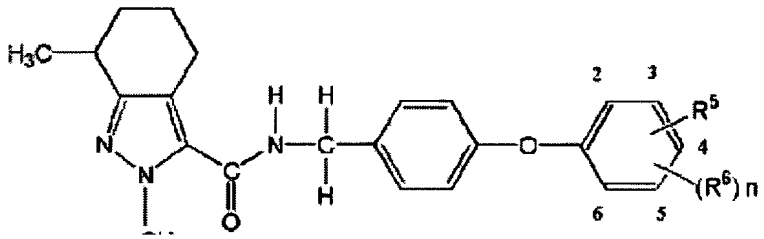
Table 34

① 化合物番号	R^6	$(R^6)n$
26－1	4-CH_3	H
26－2	4-OC_2H_5	H
26－3	4-SCH_3	H
26－4	4-OCF_2CF_2H	H
26－5	4-SCF_3	H
26－6	3-Cl	4-CH_3
26－7	3-CH_3	H
26－8	4-O-CH_2-C≡CH	H
26－9	2-Cl	4-Cl
26－10	3-Cl	4-Cl
26－11	2-Cl	4-CF_3
26－12	4-N=CH-N(CH_3)$_2$	H
26－13	4-$NHSO_2CH_3$	H
26－14	4-SCF_2CF_2H	H
26－15	4-SC_2H_5	H
26－16	4-SO_2CH_3	H
26－17	3-Br	4-SCH_3
26－18	3-CH_3	4-SCH_3, 5-CH_3
26－19	4-OCF_3	H
26－20	3-CH_3	4-Cl
26－21	4-tert-C_4H_9	H
26－22	4-F	H
26－23	4-OCF_2H	H
26－24	4-I	H

Key: 1 Compound number

[0072]

Structure 39



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0073]

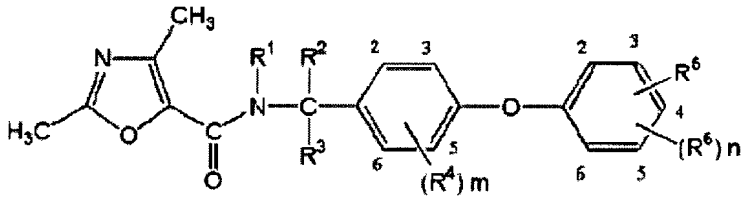
Table 35

① 化合物番号	R^5	$(R^6)_n$
27－1	4-CH_3	H
27－2	4-OC_2H_5	H
27－3	4-SCH_3	H

Key: 1 Compound number

[0074]

Structure 40



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0075]

Table 36

① 化合物番号	R^1	R^2	R^3	$(R^4)m$	R^5	$(R^6)n$
28－1	H	H	H	H	2-CH_3	H
28－2	H	H	H	H	3-CH_3	H
28－3	H	H	H	H	4-CH_3	H
28－4	H	H	H	H	4-C_2H_5	H
28－5	H	H	H	H	3-C_2H_5	H
28－6	H	H	H	H	4-n-C_4H_9	H
28－7	H	H	H	H	4-n-C_6H_{13}	H
28－8	H	H	H	H	4-CF_3	H
28－9	H	H	H	H	4-CH_2-CH=CH_2	H
28－10	H	H	H	H	4-CH_2-C≡CH	H
28－11	H	H	H	H	4-OCH_3	H
28－12	H	H	H	H	4-OC_2H_5	H
28－13	H	H	H	H	4-O-n-C_3H_7	H
28－14	H	H	H	H	4-O-n-C_6H_{13}	H
28－15	H	H	H	H	4-OCF_2H	H
28－16	H	H	H	H	4-OCF_3	H
28－17	H	H	H	H	4-OCF_2CF_2H	H
28－18	H	H	H	H	4-OCH_2CF_3	H
28－19	H	H	H	H	4-OCH_2CH_2F	H
28－20	H	H	H	H	4-O-CH_2-CH=CH_2	H
28－21	H	H	H	H	4-O-CH_2-C≡CH	H
28－22	H	H	H	H	4-SCH_3	H
28－23	H	H	H	H	4-SC_2H_5	H
28－24	H	H	H	H	4-S-n-C_3H_7	H
28－25	H	H	H	H	4-S-i-C_3H_7	H
28－26	H	H	H	H	4-SCF_2H	H
28－27	H	H	H	H	4-SCF_3	H
28－28	H	H	H	H	4-SCH_2CH_2F	H
28－29	H	H	H	H	4-SCH_2CF_3	H
28－30	H	H	H	H	4-SCF_2CF_2H	H
28－31	H	H	H	H	4-NHC_2H_5	H
28－32	H	H	H	H	4-S(O)CH_3	H
28－33	H	H	H	H	4-S(O)C_2H_5	H
28－34	H	H	H	H	4-$S(O)_2CH_3$	H
28－35	H	H	H	H	4-Cl	H
28－36	H	H	H	H	3-Cl	H
28－37	H	H	H	H	2-Cl	H
28－38	H	H	H	H	2-Cl	3-Cl
28－39	H	H	H	H	2-Cl	4-F
28－40	H	H	H	H	2-F	4-Cl
28－41	H	H	H	H	2-F	4-Br
28－42	H	H	H	H	2-Cl	3,4-Cl_2
28－43	H	H	H	H	2-Cl	4,5-Cl_2
28－44	H	H	H	H	4-SCH_3	3-Cl
28－45	H	H	H	H	4-SCH_3	2-Cl
28－46	H	H	H	H	4-SCH_3	2,3-Cl_2
28－47	H	H	H	H	4-SCH_3	3-CH_3
28－48	H	H	H	H	4-SCH_3	2,5-Cl_2
28－49	H	H	H	H	4-SCH_3	2-CH_3,5-Cl_2
28－50	H	H	H	H	4-SCH_3	2-Cl,5-CH_3
28－51	H	H	H	H	4-SCH_3	3-F
28－52	H	H	H	H	4-SCH_3	3-Br
28－53	H	H	H	H	4-SCH_3	3,5-$(CH_3)_2$
28－54	H	H	H	H	4-SCH_3	2,5-$(CH_3)_2$
28－55	H	H	H	H	4-SC_2H_5	3-Cl
28－56	H	H	H	H	4-SC_2H_5	2,5-Cl_2
28－57	H	H	H	H	4-SCF_2H	3-Cl
28－58	H	H	H	H	4-SCF_3	3-Cl
28－59	H	H	H	H	4-SCF_2CF_2H	3-Cl
28－60	H	H	H	H	3-Cl	4-CH_3
28－61	H	H	H	H	3-Cl	4-C_2H_5
28－62	H	H	H	H	3-CH_3	4-Cl
28－63	H	H	H	H	3-CH_3	4-Br
28－64	H	H	H	H	4-$CH_2CH_2OCH_3$	2-CH_3
28－65	H	H	H	H	4-$CH_2CH_2OCH_3$	2-C_2H_5
28－66	H	H	H	H	4-$CH_2CH_2OC_2H_5$	2,3-$(CH_3)_2$

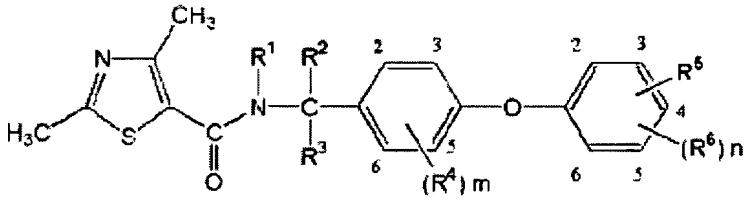
Key: 1 Compound number

[0076]
Table 37

① 化合物番号	R^1	R^2	R^3	$(R^4)m$	R^5	$(R^6)n$
28－67	H	H	H	2-F	4-SCH_3	H
28－68	H	H	H	3-Cl	4-SCH_3	H
28－69	H	H	H	3-CH_3	4-OC_2H_5	H
28－70	H	CH_3	H	H	4-OC_2H_5	H
28－71	H	C_2H_5	H	H	4-OC_2H_5	H
28－72	H	i-C_3H_7	H	H	4-OC_2H_5	H
28－73	H	CH_3	H	H	4-SCH_3	H
28－74	H	CH_3	H	H	4-SCH_3	3-Cl
28－75	H	CH_3	H	H	4-SCH_3	2,5-Cl_2
28－76	H	C_2H_5	H	H	4-SCH_3	H
28－77	H	C_2H_5	H	H	4-SCH_3	3-Cl
28－78	H	C_2H_5	H	H	4-SCH_3	2,5-Cl_2
28－79	H	C_2H_5	H	H	4-SC_2H_5	H
28－80	H	CH_3	CH_3	H	4-SCH_3	H
28－81	H	CN	H	H	4-SCH_3	H
28－82	CH_3	H	H	H	4-OC_2H_5	H
28－83	C_2H_5	H	H	H	4-OC_2H_5	H
28－84	i-C_3H_7	H	CH_3	H	4-OC_2H_5	H
28－85	H	H	H	H	3-C_2H_5	H
28－86	H	H	H	H	4-S-$CH_2CH=CH_2$	H
28－87	H	H	H	H	4-$N(CH_3)_2$	H
28－88	H	H	H	H	3,4- {O-CH_2-O}	
28－89	H	H	H	H	3,4- {O-CF_2-O}	
28－90	H	H	H	3-CH_3	4-SCH_3	H
28－91	H	H	H	H	4-$NHCOCH_3$	H
28－92	H	H	CH_3	H	4-$N=CHC_2H_5$	H
28－93	H	H	H	H	4-$N=CH-N(CH_3)_2$	H
28－94	H	H	H	H	4-$NHSO_2CH_3$	H
28－95	H	H	H	H	3,4- {CH_2-CH(CH_3)-S}	
28－96	H	H	H	H	3,4- {CH_2-CH(CH_3)-O}	
28－97	H	H	H	H	3,4- {O-CH_2-CH_2-O}	
28－98	H	H	H	H	3,4- {O-CF_2-CF_2-O}	
28－99	H	H	H	H	3,4- {CH=CH-CH=CH}	
28－100	H	CH_3	H	H	4-SCH_3	3-Cl
28－101	H	CH_3	H	H	4-SCH_3	2,5-Cl_2
28－102	H	C_2H_5	H	H	4-SCH_3	3-Cl
28－103	H	H	H	H	3,4- {CH_2-CH_2-CH_2}	
28－104	H	H	H	H	4-n-C_3H_7	H
28－105	H	H	H	H	3-Cl	4-SC_2H_5

Key: 1 Compound number

[0077]
Structure 41



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0078]

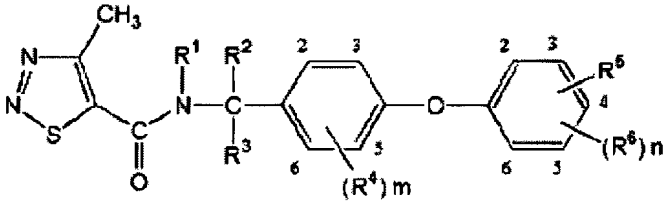
Table 38

① 化合物番号	R^1	R^2	R^3	$(R^4)m$	R^5	$(R^6)n$
29－1	H	H	H	H	4-SCH_3	H
29－2	H	H	H	H	4-OC_2H_5	H
29－3	H	H	H	H	4-n-C_4H_9	H
29－4	H	H	H	H	4-CH_3	3-Cl
29－5	H	H	H	H	4-SC_2H_5	H
29－6	H	H	H	H	4-SCH_3	3-Cl
29－7	H	H	H	H	4-SCH_3	2,5-Cl_2
29－8	H	H	H	H	4-SC_2H_5	3-Cl
29－9	H	H	H	H	4-OCF_2CF_2H	H
29－10	H	CH_3	H	H	4-SCH_3	H
29－11	H	C_2H_5	H	H	4-SCH_3	H

Key: 1 Compound number

[0079]

Structure 42



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0080]

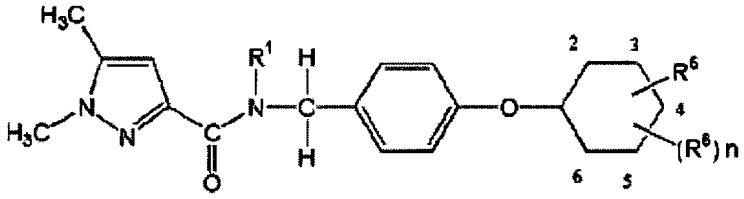
Table 39

(1)化合物番号	R^1	R^2	R^3	$(R^4)m$	R^5	$(R^6)n$
30－1	H	H	H	H	4-OCF_2CF_2H	H
30－2	H	H	H	H	4-SCH_3	H
30－3	H	H	H	H	2-CH_3	H
30－4	H	H	H	H	4-CH_3	H
30－5	H	H	H	H	4-C_2H_5	H
30－6	H	H	H	H	4-n-C_3H_7	H
30－7	H	H	H	H	4-Cl	H
30－8	H	H	H	H	2-Cl	5-Cl
30－9	H	H	H	H	2-Cl	4,5-Cl_2
30－10	H	H	H	H	4-SC_2H_5	H
30－11	H	H	H	H	4-OC_2H_5	H
30－12	H	H	H	H	4-OCH_3	H
30－13	H	H	H	H	4-SCF_2H	H
30－14	H	H	H	H	4-SCF_3	H
30－15	H	H	H	H	4-SCF_2CF_2H	H
30－16	H	H	H	H	4-SCH_2CH_2F	H
30－17	H	H	H	H	4-SCH_2CF_3	H
30－18	CH_3	H	H	H	4-SCH_3	H
30－19	C_2H_5	H	H	H	4-SCH_3	H
30－20	i-C_3H_7	H	H	H	4-SCH_3	H
30－21	H	CH_3	H	H	4-OCF_2CF_2H	H
30－22	H	CN	H	H	4-OCF_2CF_2H	H
30－23	H	C_2H_5	H	H	4-OCF_2CF_2H	H
30－24	H	i-C_3H_7	H	H	4-OCF_2CF_2H	H
30－25	H	CH_3	CH_3	H	4-OCF_2CF_2H	H
30－26	H	H	H	2-F	4-SCH_3	H
30－27	H	H	H	3-Cl	4-SCH_3	H
30－28	H	H	H	3-CH_3	4-SCH_3	H
30－29	H	H	H	H	4-SCH_3	3-Cl
30－30	H	H	H	H	4-SCH_3	2,5-Cl_2
30－31	H	H	H	H	4-S-n-C_3H_7	H
30－32	H	H	H	H	4-n-C_4H_9	H
30－33	H	H	H	H	4-O-n-C_6H_{13}	H
30－34	H	H	H	H	4-S-i-C_3H_7	H
30－35	H	H	H	H	4-S-n-C_4H_9	H
30－36	H	H	H	H	4-S-n-C_6H_{13}	H
30－37	H	H	H	H	4-NHC_2H_5	H
30－38	H	H	H	H	4-SC_2H_5	3-Cl
30－39	H	CH_3	CH_3	H	4-SCH_3	H
30－40	H	CH_3	H	H	4-SCH_3	H
30－41	H	C_2H_5	H	H	4-SCH_3	H
30－42	H	i-C_3H_7	H	H	4-SCH_3	H
30－43	CH_3	H	H	H	4-SCH_3	H
30－44	H	CN	H	H	4-SCH_3	H

Key: 1 Compound number

[0081]

Structure 43



[In the formula, the numbers 2-6 enumerate the substitution sites.]

[0082]

Table 40

① 化合物番号	R^1	R^5	$(R^6)n$
31－1	H	4-CH_3	H
31－2	H	3-CH_3	H
31－3	H	2-CH_3	H

Key: 1 Compound number

[0083]

Application examples

The following test examples show that the invented compound is useful as a fat accumulation inhibitor, but the present invention is not limited to these. The compounds used hereunder are indicated by the compound number as described in the aforementioned Tables 1-40.

[0084]

Test Example 1

(1) Extirpation of adipose tissue

All of the adipose tissue adhering to the mesenterium (hereunder referred to as "mesenteric adipose tissue") was extirpated from 32 male 14-week-old Wistar rats (Nippon SLC Co., Ltd.) that had been sacrificed and celiotomized. Also, subcutaneous adipose tissue was extirpated from one side of each animal only from the ventral part to the thigh (hereunder referred to as "ventral adipose tissue"). The extirpated tissues were individually immersed in phosphate buffer (0.20 g/L KCl, 0.20 g/L KH_2PO_4, 8.00 g/L NaCl, 2.16 g/L $Na_2HPO_4 \cdot 7H_2O$, penicillin 100 U/mL (GIBCO), streptomycin 100 μg/mL (GIBCO), amphotericin 250 ng/mL (GIBCO)), and washed at room temperature.

[0085]

(2) Preparation and culturing of cells from test tissues

After washing, the ventral and mesenteric adipose tissue were respectively subjected to the following treatment. Namely, first, these tissues were sectioned into approximately 5 mm squares using scissors in approximately 300 mL of Dulbecco's modified Eagle's medium (containing 4.5 g/L of D-glucose and 584 mg/L of L-glutamine, GIBCO), to which had been added collagenase (type II or VIII; Sigma), penicillin (GIBCO), streptomycin (GIBCO) and amphotericin (GIBCO) so as to give respective concentrations of 1 mg/mL, 100 U/mL, 100 μg/mL, and 250 ng/mL. This was then shaken for 60 min at 37°C (approximately 170 rpm), filtered through nylon mesh (80S (mesh size: 250 μm) Sanshin Industrial Co., Ltd.), and the filtrate (cell suspension) was recovered. Said filtrate was centrifuged for 5 min at 1800 rpm at room temperature, the liquid layer was gently removed by decantation, giving the precipitate. The precipitate was suspended in 50 mL of Dulbecco's modified Eagle's medium (containing 4.5 g/L of D-glucose and 584 mg/L of L-glutamine, GIBCO), to which had been added fetal bovine serum (hereunder called FBS) (GIBCO), ascorbic acid (Wako Pure Chemical Industries), penicillin, streptomycin (GIBCO) and amphotericin (GIBCO) so as to give respective concentrations of 10%, 200 μM, 100 U/mL, 100 μg/mL, and 250 ng/mL, and the suspension was filtered through nylon mesh (420S [mesh size: 25 μm] Sanshin Industrial Co., Ltd.). The filtrate was recovered, centrifuged at 1800 rpm for 5 min at room temperature, the liquid layer was gently removed by decantation, and the precipitate was resuspended in 50 mL of the aforementioned medium (hereinunder called FBS-containing medium). The aforementioned suspension was subjected to two more cycles of the operations of centrifugation, liquid layer removal, and suspension in FBS-containing medium, performed as described above. However, ultimately a cell suspension was prepared by suspending the precipitate in 120 mL FBS-containing medium. Said cell suspension was divided by pouring 30 mL at a time into cell culture flasks (T150 for adherent cells, Iwasaki Glass), and cultured at 37°C in the presence of 5% CO_2. The medium was removed 2-3 h after the culture was initiated, and the flask walls were washed with 15 mL of the aforementioned phosphate buffer. The washing liquid was removed, said washing operation was repeated, the phosphate buffer was removed, 30 mL of FBS-containing medium was added to the flask, and this was cultured at 37°C in the presence of 5% CO_2. The medium was removed 1 day after culturing had commenced, the flask walls were washed 1 time with 15 mL phosphate buffer, and enough trypsin-ethylenediaminetetraacetic acid (hereunder called EDTA) solution (0.05% trypsin, 0.53 mM EDTA•4Na; GIBCO) was added to immerse the cells. The system was held at 37°C for 5 min. A cell suspension was then obtained by adding a volume of FBS-containing medium approximately 10 times the amount of trypsin-EDTA solution.

[0086]

(3) Test A on fat accumulation inhibition effect

The cells derived from the mesenteric adipose tissue were utilized to test the fat accumulation inhibition effect according to the compound. The number of cells in the suspension prepared from the mesenteric adipose tissue as described above (2) was counted using a hemocytometer, and FBS-containing medium was added until the suspension had been diluted to 1.4×10^5 cells/mL. Said diluted suspension was then aliquotted 100 μL per well into a 96-well plate (for adherent cell culture, Iwasaki Glass), and cultured at 37°C in the presence of 5% CO_2. After 2-3 days, the medium was removed from each well of the 96-well plates, and then cultured for 2 days at 37°C in the presence of 5% CO_2 by addition into each well of 100 μL of FBS-containing medium that contained 10 μg/mL insulin (Sigma), 0.25 μM dexamethasone (Wako Pure Chemical Industries), 0.5 mM 3-isobutyl-1-methylxanthine (Sigma), and 5 μM 15-deoxy-$\Delta^{12,14}$-prostaglandin J_2 (Cayman). Again, after culturing for 2 days at 37°C in the presence of 5% CO_2, the medium was removed from each well, and to each well was then added 100 μL of FBS-containing medium that [also] contained 10 μg/mL insulin, 5 μM 15-deoxy-$\Delta^{12,14}$-prostaglandin J_2, test compound 50 μM, 0.5% dimethylsulfoxide (hereunder referred to as DMSO) (Wako Pure Chemical Industries), and this was similarly cultured. As a control, 100 μL of FBS-containing medium that contained 10 μg/mL insulin, 5 μM 15-deoxy-$\Delta^{12,14}$-prostaglandin J_2, and 0.5% DMSO were added, and this was similarly cultured.

[0087]

After 2 days of culturing, the fat in the cells was stained using Oil Red O (Sudan II, Wako Pure Chemical Industries), and the amount of fat was measured by colorimetry. Specifically, 30 μL of a solution of 0.075% oil red O stain/60% triethyl phosphate was directly added to each well containing the cell cultures. This was allowed to stand at room temperature for 30 min, the medium containing oil red O stain was removed, and 100 μL of 20% triethyl phosphate aqueous solution was added to each well. After addition, the 20% triethyl phosphate aqueous solution was removed from each well, and 100 μL of the same aqueous solution was freshly added to each well. After the aforementioned operation had been repeated, 100 μL of cytosolic liquid (2% SDS, 0.2N NaOH) was added to each well. This was kept warm at 37°C for 3 h or longer, and then light absorption at 490 nm was measured using a plate reader (Vmax; Beckman) (hereunder referred to as Measurement Value 1). The control was similarly subjected to staining of intracellular fat and measurement of light absorption (hereunder referred to as Measurement Value 2). From these measurement values, the fat accumulation inhibition rate due to the test compound was calculated according to the following formula.

Fat Accumulation Inhibition Rate (%) = {(Measurement Value 2 - Measurement Value 2)/Measurement Value 2} x 100

The effectiveness determination criteria were set at:

A: fat accumulation inhibition rate of 50% or more

B: fat accumulation inhibition rate more than 10% and less than 50%

C: fat accumulation inhibition rate less than 10%

The results are shown in Table 41.

[0088]

Table 41

① 供試験化合物	② 効果判定
1 - 1	A
1 - 10	A
1 - 52	A
1 - 61	A
1 - 65	A
1 - 85	A
1 - 87	A
1 - 89	A
1 - 107	A
1 - 116	A
1 - 327	A
1 - 328	A
1 - 331	A
1 - 352	A
1 - 459	A
2 - 6	A
3 - 9	A
3 - 10	A
3 - 11	A
5 - 1	A
5 - 3	A
5 - 7	A
5 - 10	A
5 - 11	A
5 - 12	A
5 - 13	A
5 - 14	A
5 - 16	A
5 - 17	A
5 - 18	A
5 - 19	A
5 - 21	A
5 - 25	A
5 - 27	A
5 - 36	A
5 - 37	A
5 - 64	A
5 - 86	A
5 - 88	A
5 - 89	A
5 - 90	A
5 - 92	A
5 - 93	A
5 - 94	A
5 - 95	A
5 - 96	A
5 - 97	A
5 - 99	A
5 - 100	A
5 - 101	A
5 - 103	A
5 - 104	A
5 - 105	A
5 - 106	A
5 - 107	A
5 - 108	A
5 - 109	A
5 - 110	A
5 - 111	A
5 - 113	A
5 - 115	A
5 - 116	A
5 - 118	A
5 - 120	A
5 - 121	A
5 - 122	A
5 - 123	A
5 - 124	A
5 - 125	A
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5 - 129	A
5 - 131	A
5 - 133	A
5 - 134	A
5 - 135	A
5 - 136	A
5 - 137	A
5 - 140	A
5 - 141	A
5 - 143	A
5 - 144	A
5 - 146	A
5 - 147	A
5 - 148	A
5 - 149	A
5 - 157	A
5 - 158	A
5 - 160	A
5 - 161	A
5 - 162	A
5 - 163	A
5 - 164	A
5 - 165	A
5 - 166	A
5 - 167	A
5 - 168	A
5 - 169	A
5 - 170	A
5 - 180	A
5 - 188	A
5 - 197	A
5 - 198	A
5 - 199	A
5 - 200	A
5 - 201	A
6 - 1	A
6 - 8	A
6 - 9	A
6 - 12	A
6 - 13	A
6 - 14	A
6 - 15	A
6 - 16	A
6 - 17	A
9 - 1	A
9 - 4	A
9 - 7	A
9 - 18	A
9 - 16	A
9 - 19	A
12 - 26	A
12 - 27	A
12 - 31	A
12 - 32	A
12 - 33	A
12 - 34	A
13 - 2	A
14 - 2	A
15 - 1	A
16 - 1	A
16 - 8	A
16 - 31	A
16 - 34	A
16 - 37	A
16 - 53	A
16 - 77	A
16 - 96	A
16 - 111	A
16 - 142	A
16 - 145	A
16 - 146	A
20 - 2	A
20 - 5	A
25 - 8	A
31 - 1	A

Key: 1 Test compound

2 Efficacy determination

[0089]

(4) Test B on fat accumulation inhibition effect

The cells derived from the ventral adipose tissue were utilized to test the fat accumulation inhibition effect according to the compound. The number of cells in the suspension prepared from the ventral adipose tissue as described above (2) was counted using a hemocytometer, and FBS-containing medium was added until the suspension had been diluted to 1.4×10^5 cells/mL. Said diluted suspension was used, and by an operation identical to (3) below [sic; above], the cells were cultured and the test compound was added. Furthermore, the fat of the cells to which the test compound had been added and of the cells to which the test compound had not been added was stained using Oil Red O (Sudan II, Wako Pure Chemical Industries), and the light absorption was measured (hereunder, the value measured for the cells to which test compound had been added is referred to as Measurement Value 3, and the value measured for the cells to which test compound had not been added is referred to as Measurement Value 4). From these measurement values, the fat accumulation inhibition rate due to the test compound was calculated according to the following formula.

Fat Accumulation Inhibition Rate (%) = {(Measurement Value 4 - Measurement Value 3)/Measurement Value 4} x 100

The effectiveness determination criteria were set at:

A: fat accumulation inhibition rate of 50% or more

B: fat accumulation inhibition rate more than 10% and less than 50%

C: fat accumulation inhibition rate less than 10%

The results are shown in Table 42.

[0090]

Table 42

① 供試験化合物	② 効果判定
1 − 1	A
1 − 10	A
1 − 52	A
1 − 61	A
1 − 65	A
1 − 85	A
1 − 87	A
1 − 89	A
1 − 107	A
1 − 116	A
1 − 327	A
1 − 328	A
1 − 331	A
1 − 352	A
1 − 459	A
2 − 6	A
3 − 9	A
3 − 10	A
3 − 11	A
5 − 1	A
5 − 8	A
5 − 7	A
5 − 10	A
5 − 11	A
5 − 12	A
5 − 13	A
5 − 14	A
5 − 16	A
5 − 17	A
5 − 18	A
5 − 19	A
5 − 21	A
5 − 25	A
5 − 27	A
5 − 36	A
5 − 37	A
5 − 64	A
5 − 86	A
5 − 88	A
5 − 89	A
5 − 90	A
5 − 92	A
5 − 93	A
5 − 94	A
5 − 95	A
5 − 96	A
5 − 97	A
5 − 99	A
5 − 100	A

① 供試験化合物	② 効果判定
5 − 101	A
5 − 103	A
5 − 104	A
5 − 105	A
5 − 106	A
5 − 107	A
5 − 108	A
5 − 109	A
5 − 110	A
5 − 111	A
5 − 113	A
5 − 115	A
5 − 116	A
5 − 118	A
5 − 120	A
5 − 121	A
5 − 122	A
5 − 123	A
5 − 124	A
5 − 125	A
5 − 126	A
5 − 127	A
5 − 129	A
5 − 131	A
5 − 133	A
5 − 134	A
5 − 135	A
5 − 136	A
5 − 137	A
5 − 140	A
5 − 141	A
5 − 143	A
5 − 144	A
5 − 146	A
5 − 147	A
5 − 148	A
5 − 149	A
5 − 157	A
5 − 158	A
5 − 160	A
5 − 161	A
5 − 162	A
5 − 163	A
5 − 164	A
5 − 165	A
5 − 166	A
5 − 167	A
5 − 168	A
5 − 169	A

① 供試験化合物	② 効果判定
5 − 170	A
5 − 180	A
5 − 188	A
5 − 197	A
5 − 198	A
5 − 199	A
5 − 200	A
5 − 201	A
6 − 1	A
6 − 8	A
6 − 9	A
6 − 12	A
6 − 13	A
6 − 14	A
6 − 15	A
6 − 16	A
6 − 17	A
9 − 1	A
9 − 4	A
9 − 7	A
9 − 13	A
9 − 16	A
9 − 19	A
12 − 26	A
12 − 27	A
12 − 31	A
12 − 32	A
12 − 33	A
12 − 34	A
13 − 2	A
14 − 2	A
15 − 1	A
16 − 1	A
16 − 3	A
16 − 31	A
16 − 34	A
16 − 37	A
16 − 53	A
16 − 77	A
16 − 96	A
16 − 111	A
16 − 142	A
16 − 145	A
16 − 146	A
20 − 2	A
20 − 5	A
25 − 3	A
31 − 1	A

Key: 1 Test compound
2 Efficacy determination

[0091]

Test Example 2

(1) Preparation of adipose tissue specimens

Similar to Test Example 1, mesenteric adipose tissue and ventral adipose tissue was extirpated from 14-week-old male Wistar rats, and respectively subjected to the following treatment. Namely, first, the extirpated tissue was washed in 2 mL of Dulbecco's modified

Eagle's medium (containing 4.5 g/L of D-glucose and 584 mg/L of L-glutamine, GIBCO), then sectioned into approximately 1 mm squares using scissors in the medium.

[0092]

(2) Test C on fat accumulation inhibition effect

The mesenteric and ventral adipose tissue prepared in (1) were used to test the fat accumulation inhibition effect according to the compound. Namely, first 500 μL of Dulbecco's modified Eagle's medium-low glucose (containing 1.0 g/L of D-glucose and 584 mg/L of L-glutamine, GIBCO), was aliquotted into each well of a 48-well plate (for adherent cell culture, Sumitomo Bakelite), and the test compound dissolved in DMSO was added so as to give a final concentration of 50 μM of test compound and 0.5% final DMSO concentration. Then 50-100 mg of the aforementioned adipose tissue specimens were placed in each well, and this was cultured at 37°C in the presence of 5% CO_2 for 30 min. As a control, DMSO solution was substituted for the aforementioned test compound and added so that the final concentration of DMSO alone was 0.5%; in other respects, the addition of adipose tissue specimens was identical to that described above, and culturing was also identical. After 30 min of culturing, 15 μL of radioisotope-labeled glucose solution (D-[U-^{14}C]-glucose; 7.4 MBq/mL; Amersham) was added to each well, and this was cultured at 37°C in the presence of 5% CO_2 for 7 h.

[0093]

After culturing, a transfer was made to 750 μL heptane/isopropanol (heptane:isopropanol = 3:2), and this was allowed to stand at room temperature for 15 h. The tissue specimens were then removed from the aforementioned liquid, the heptane and isopropanol were volatilized, 6 μL of the residue obtained was dissolved in 120 μL of chloroform/methanol (chloroform:methanol = 2:1), and 6 μL of said solution was spotted onto thin-layer chromatography plates (K5 silica gel, 150 Å, Whatman; hereunder referred to as "TLC plate"). Hexane:ethyl ether: acetate (75:25:1) was placed in a tightly closed container as eluant, the aforementioned TLC plates were developed, the TLC plates were dried at room temperature, and imaging plates (BAS3-2040, Fuji Film) were exposed to said plates for 4-5 h. The exposed imaging plates were analyzed by an image analyzer (BAS 2000 Bioimage Analyzer, Fuji Film), and the radioactivity of the portion corresponding to the triglyceride development site on the aforementioned TLC plate was measured (hereunder referred to as Measurement Value 5). The control was identically treated and thin-layer chromatography was also performed, and the radioactivity of the portion corresponding to the triglyceride development site on the aforementioned TLC plate was measured (hereunder referred to as Measurement Value 6). From

these measurement values, the fat accumulation inhibition rate due to the test compound was calculated according to the following formula.

Fat Accumulation Inhibition Rate (%) = {(Measurement Value 6 - Measurement Value 5)/Measurement Value 6} x 100

[0094]

In the test using the mesenteric adipose tissue, the fat accumulation inhibition effect rate according to the test compound was as follows.

The effectiveness determination criteria were set at:

A: fat accumulation inhibition rate of 40% or more

B: fat accumulation inhibition rate more than 10% and less than 40%

C: fat accumulation inhibition rate less than 10%

The results are shown in Table 43.

[0095]

Table 43

① 供試験化合物	効果判定②
1 – 1	A
1 – 85	A
5 – 11	A
5 – 86	A
5 – 87	A
5 – 126	A
5 – 140	A
5 – 158	A
5 – 161	A
5 – 170	A
20 – 2	A

Key: 1 Test compound
2 Efficacy determination

[0096]

In the test using the ventral adipose tissue, the fat accumulation inhibition effect rate according to the test compound was as follows.

The effectiveness determination criteria were set at:

A: fat accumulation inhibition rate of 40% or more

B: fat accumulation inhibition rate more than 10% and less than 40%

C: fat accumulation inhibition rate less than 10%

The results are shown in Table 44.

[0097]

Table 44

①供試験化合物	効果判定②
1 － 1	C
5 － 36	A
5 － 37	A
5 － 161	A
5 － 170	A

Key: 1 Test compound
2 Efficacy determination

[0098]

Results of the invention

The present invention makes it possible to offer a fat accumulation inhibitor containing the compound expressed by General Formula (1), or its prodrug, or the pharmaceutically acceptable salt thereof. Furthermore, the utilization of the invention's fat accumulation inhibitor, by inhibiting fat accumulation in adipose tissue, enables the prevention and treatment of diseases such as obesity, diabetes, and hyperlipidemia, for example, that accompany an increase in body fat or an increase in adipose tissue.